10608949a.trn 08/25/2004

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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	May 12	EXTEND option available in structure searching
NEWS 4	May 12	Polymer links for the POLYLINK command completed in REGISTRY
NEWS 5	May 27	New UPM (Update Code Maximum) field for more efficient patent SDIs in CAplus
NEWS 6	May 27	CAplus super roles and document types searchable in REGISTRY
NEWS 7	Jun 28	Additional enzyme-catalyzed reactions added to CASREACT
NEWS 8	Jun 28	ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG, and WATER from CSA now available on STN(R)
NEWS 9	Jul 12	BEILSTEIN enhanced with new display and select options, resulting in a closer connection to BABS
NEWS 10	Jul 30	BEILSTEIN on STN workshop to be held August 24 in conjunction with the 228th ACS National Meeting
NEWS 11	AUG 02	IFIPAT/IFIUDB/IFICDB reloaded with new search and display fields
NEWS 12	AUG 02	CAplus and CA patent records enhanced with European and Japan Patent Office Classifications
NEWS 13	AUG 02	STN User Update to be held August 22 in conjunction with the 228th ACS National Meeting
NEWS 14	AUG 02	The Analysis Edition of STN Express with Discover! (Version 7.01 for Windows) now available
NEWS 15	AUG 04	Pricing for the Save Answers for SciFinder Wizard within STN Express with Discover! will change September 1, 2004

NEWS EXPRESS	JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

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* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 13:36:14 ON 25 AUG 2004

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 13:36:25 ON 25 AUG 2004

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 AUG 2004 HIGHEST RN 732209-96-0
DICTIONARY FILE UPDATES: 24 AUG 2004 HIGHEST RN 732209-96-0

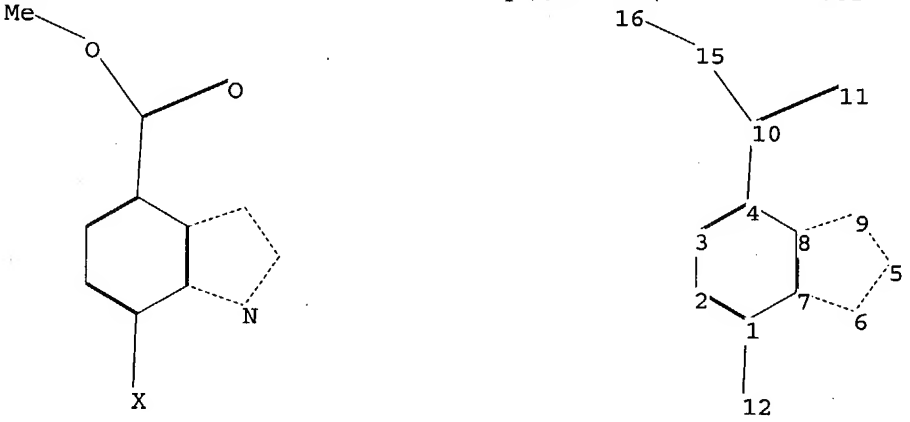
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10608949a.str



chain nodes :
10 11 12 15 16
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
1-12 4-10 10-11 10-15 15-16
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9
exact/norm bonds :
5-6 5-9 6-7 8-9 10-11 10-15
exact bonds :

1-12 4-10 15-16
normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8
isolated ring systems :
containing 1 :

G1:O,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 15:CLASS 16:CLASS

L1 STRUCTURE UPLOADED

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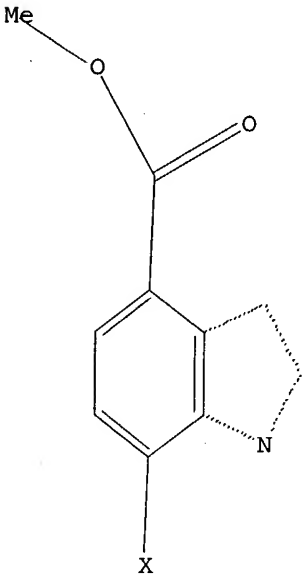
L1 HAS NO ANSWERS
L1 STR



G1 O,N

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 498 TO ITERATE

100.0% PROCESSED 498 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 8622 TO 11298
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full
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FULL SCREEN SEARCH COMPLETED - 9971 TO ITERATE

100.0% PROCESSED 9971 ITERATIONS 8 ANSWERS
SEARCH TIME: 00.00.01

L3 8 SEA SSS FUL L1

=> FIL CAPLUS
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.42	155.63

FILE 'CAPLUS' ENTERED AT 13:36:56 ON 25 AUG 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 25 Aug 2004 VOL 141 ISS 9
FILE LAST UPDATED: 24 Aug 2004 (20040824/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 3 L3

=> s l4 and py<=2002
22507962 PY<=2002
L5 0 L4 AND PY<=2002

=> d l4 ibib abs hitstr tot

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:142896 CAPLUS
DOCUMENT NUMBER: 140:199201
TITLE: Method of preparation of 4,7-disubstituted indoles
INVENTOR(S): Alper, Phil B.; Nguyen, Khanlinh T.
PATENT ASSIGNEE(S): Irm Llc, Bermuda
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014294	A2	20040219	WO 2003-US20395	20030627
WO 2004014294	A3	20040701		

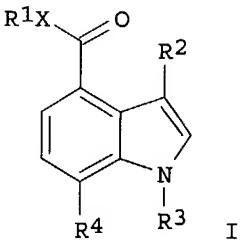
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004110944	A1	20040610	US 2003-608949		20030626
PRIORITY APPLN. INFO.:			US 2002-392804P	P	20020628
			US 2003-608949	A	20030626

OTHER SOURCE(S): CASREACT 140:199201; MARPAT 140:199201

GI



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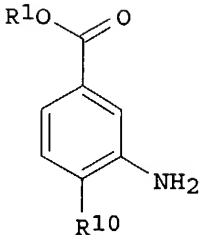

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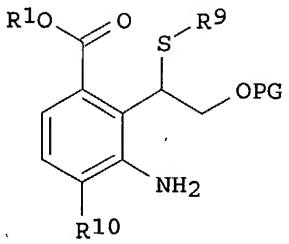


III

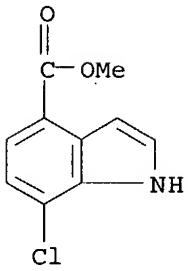
AB The invention provides a synthetic method for preparing biol. important title compds. I [wherein R1 = H or (un)substituted (cyclo)alkyl or (hetero)aryl; R2 = H, halo, COR5, or (un)substituted alkylamino; R3 = H or (un)substituted alkyl; R4 = halo, SO1-3R6, or (un)substituted (cyclo)alkyl, alkenyl, or (hetero)aryl; R5 = (un)substituted (cyclo)alkyl or (hetero)aryl; R6 = (un)substituted (cyclo)alkyl or (hetero)aryl; X = O or NR; R = H or (un)substituted alkyl; or R and R2 together with the atoms to which they are attached join to form an (un)substituted 5-, 6-, or 7-membered heterocyclic ring] by substitution of leaving groups at the 4- and 7-positions of the indole ring. The method comprises: (1) reaction of II [wherein R10 = halo or SO1-3R6; R1, R10, and X are defined above] with a sulfide R9S(CH2)2OPG [wherein R9 = (un)substituted (cyclo)alkyl or

(hetero)aryl; PG = protecting group, such as pivaloyl] to give III, (2) cleavage of the protecting group and cyclization to afford the 3,4-dihydro-1H-2-benzopyran-1-one, (3) protection of the primary amine, (4) elimination of the sulfide functional group and subsequent alcoholysis to generate the pharmacophore scaffold with leaving groups at the 4- and 7-positions of the indole ring, and (5) Pd-catalyzed coupling using an aryl boronic acid to give I. For example, reaction of Me 3-amino-4-chlorobenzoate with 2-methylthioethyl pivalate (SO_2Cl_2, toluene, -78°; collidine; TEA, >70°; NaOMe, MeOH; trifluoroacetic anhydride, pyridine) afforded 6-chloro-3,4-dihydro-4-methylthio-5-trifluoroacetylamino-1H-2-benzopyran-1-one (32.2%). Elimination of the sulfide using H_2O_2 in AcOH provided the isocoumarin (73.1%), which was treated with H_2SO_4 in MeOH to give Me 7-chloro-1H-indole-4-carboxylate (98%). Functionalization using phenylboronic acid (Pd_2dba_3, P(t-Bu)3, tributylstannyl reagent, dioxane) gave 7-phenyl-1H-indole-4-carboxylic acid.

IT **503816-69-1P**, 4-Carbomethoxy-7-chloroindole
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 4,7-disubstituted indoles from aminobenzoates and sulfides)

RN 503816-69-1 CAPLUS

CN 1H-Indole-4-carboxylic acid, 7-chloro-, methyl ester (9CI) (CA INDEX NAME)

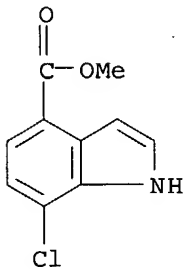


L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:520167 CAPLUS
DOCUMENT NUMBER: 139:214283
TITLE: Bartoli Indole Synthesis on Solid Supports
AUTHOR(S): Knepper, Kerstin; Braese, Stefan
CORPORATE SOURCE: Kekule-Institut fuer Organische Chemie and Biochemie, Rheinische Friedrich-Wilhelms-Universitaet Bonn, Bonn, D-53121, Germany
SOURCE: Organic Letters (2003), 5(16), 2829-2832
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:214283

AB Bartoli indole synthesis was performed on solid supports. Starting from Merrifield resin, immobilization of five nitrobenzoic acids was performed. Addition of four different alkenyl Grignard reagents and basic cleavage leads to substituted Me indolecarboxylates in excellent purities. Features of this reaction are the stability of halide groups, ester moieties, and tolerance of o,o'-unsubstituted nitro resins. Heck and Sonogashira reactions are also possible with immobilized indoles.

IT **503816-69-1P 588688-34-0P 588688-35-1P**
588688-36-2P 588688-40-8P 588688-41-9P
588688-42-0P 588688-43-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(Bartoli indole synthesis on solid supports)
RN 503816-69-1 CAPLUS
CN 1H-Indole-4-carboxylic acid, 7-chloro-, methyl ester (9CI) (CA INDEX NAME)



RN 588688-34-0 CAPLUS
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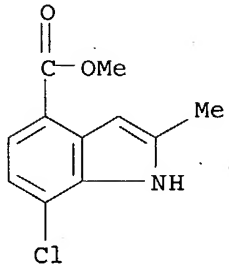
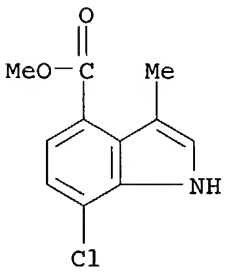


RN 588688-35-1 CAPLUS
CN 1H-Indole-4-carboxylic acid, 7-chloro-3-methyl-, methyl ester (9CI) (CA INDEX NAME)



RN 588688-36-2 CAPLUS
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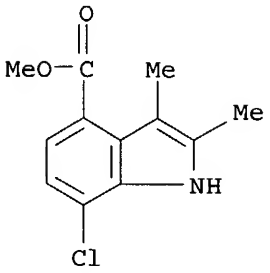

RN 588688-40-8 CAPLUS
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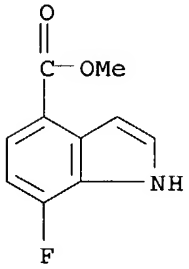
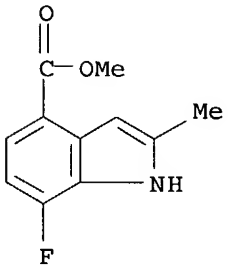


RN 588688-41-9 CAPLUS
CN 1H-Indole-4-carboxylic acid, 7-fluoro-2-methyl-, methyl ester (9CI) (CA INDEX NAME)



RN 588688-42-0 CAPLUS
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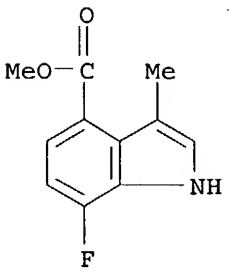
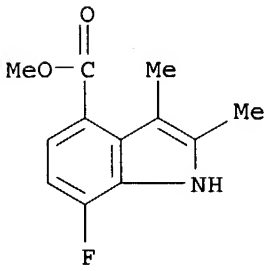


RN 588688-43-1 CAPLUS
CN 1H-Indole-4-carboxylic acid, 7-fluoro-2,3-dimethyl-, methyl ester (9CI) (CA INDEX NAME)



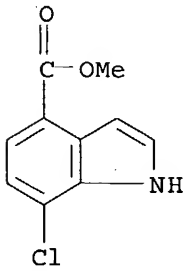
REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:98290 CAPLUS
DOCUMENT NUMBER: 138:287484
TITLE: Practical Synthesis and Elaboration of Methyl 7-Chloroindole-4-carboxylate
AUTHOR(S): Alper, Phil B.; Nguyen, KhanhLinh T.
CORPORATE SOURCE: The Genomics Institute, Novartis Foundation, San Diego, CA, 92121-1125, USA
SOURCE: Journal of Organic Chemistry (2003), 68(5), 2051-2053
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:287484

AB A synthesis of Me 7-chloroindole-4-carboxylate, a previously unknown indole derivative, is presented. The route reported herein allows for the preparation of multihundred gram quantities of material without any chromatog. purification Conditions are presented for the Pd-catalyzed elaboration of one of the diversity generating elements of this important pharmacophore.

IT **503816-69-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and substitution reaction of Me 7-chloroindole-4-carboxylate)

RN 503816-69-1 CAPLUS
CN 1H-Indole-4-carboxylic acid, 7-chloro-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	18.30	173.93

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-2.10	-2.10

FILE 'REGISTRY' ENTERED AT 13:40:06 ON 25 AUG 2004
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 AUG 2004 HIGHEST RN 732209-96-0
DICTIONARY FILE UPDATES: 24 AUG 2004 HIGHEST RN 732209-96-0

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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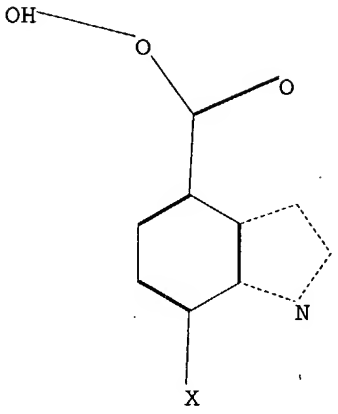
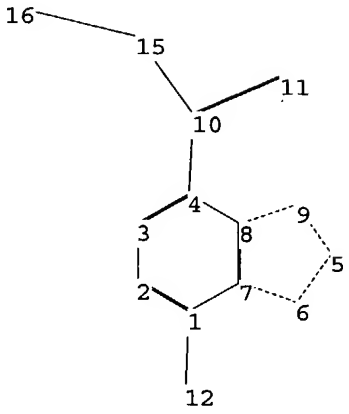
Uploading C:\Program Files\Stnexp\Queries\10608949b.str





chain nodes :
10 11 12 15 16
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
1-12 4-10 10-11 10-15 15-16
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9
exact/norm bonds :
5-6 5-9 6-7 8-9 10-11 10-15
exact bonds :
1-12 4-10 15-16
normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8
isolated ring systems :
containing 1 :

G1:O,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
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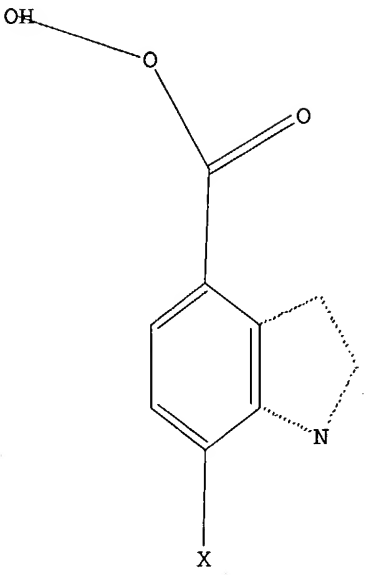
L6 STR



G1 O,N

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED -      2 TO ITERATE

100.0% PROCESSED       2 ITERATIONS                          0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            2 TO      124
PROJECTED ANSWERS:               0 TO        0

L7             0 SEA SSS SAM L6

=> s l6 sss full
FULL SEARCH INITIATED 13:40:31 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     29 TO ITERATE

100.0% PROCESSED      29 ITERATIONS                          0 ANSWERS
SEARCH TIME: 00.00.01

L8             0 SEA SSS FUL L6

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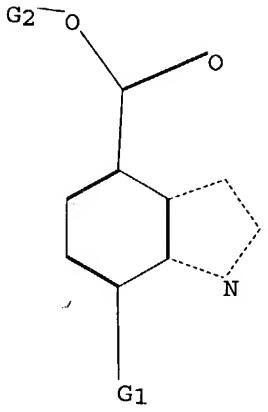
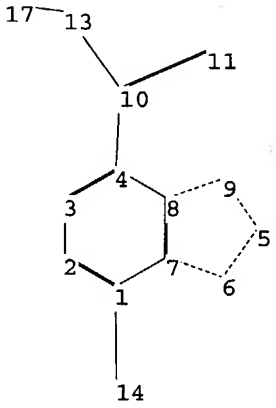




chain nodes :
10 11 13 14 17
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
1-14 4-10 10-11 10-13 13-17
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9
exact/norm bonds :
1-14 5-6 5-9 6-7 8-9 10-11 10-13 13-17
exact bonds :
4-10
normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8
isolated ring systems :
containing 1 :

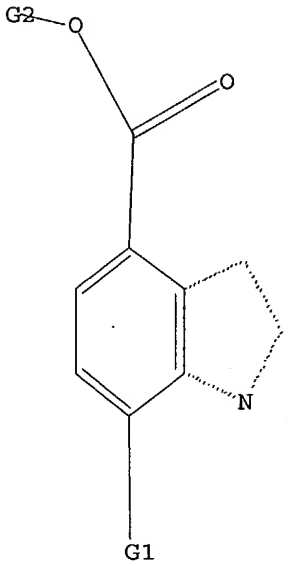
G1:X,Ak

G2:H,CH3

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 13:CLASS 14:CLASS 17:CLASS

L9 STRUCTURE UPLOADED

=> d l9
L9 HAS NO ANSWERS
L9 STR



G1 X,Ak

G2 H,Me

Structure attributes must be viewed using STN Express query preparation.

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=> s l9
SAMPLE SEARCH INITIATED 13:45:35 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -  13595 TO ITERATE

  7.4% PROCESSED    1000 ITERATIONS                          1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       264918 TO   278882
PROJECTED ANSWERS:              50 TO      492

L10           1 SEA SSS SAM L9

=> s l9 sss full
FULL SEARCH INITIATED 13:45:43 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 269370 TO ITERATE

100.0% PROCESSED  269370 ITERATIONS                      259 ANSWERS
SEARCH TIME: 00.00.09

L11         259 SEA SSS FUL L9

=> FIL CAPLUS
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              314.20     488.13

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
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	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-2.10

FILE 'CAPLUS' ENTERED AT 13:46:00 ON 25 AUG 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 25 Aug 2004 VOL 141 ISS 9
FILE LAST UPDATED: 24 Aug 2004 (20040824/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L12          41 L11

=> s l12 and py<=2002
      22507962 PY<=2002
L13          35 L12 AND PY<=2002

=> s l13 and p/dt
       4416811 P/DT
L14           8 L13 AND P/DT

=> d l14 ibib abs hitstr tot

L14  ANSWER 1 OF 8  CAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         1997:805722  CAPLUS
DOCUMENT NUMBER:          128:34682
TITLE:                    Preparation of indole derivatives as cell protective
                          agents
INVENTOR(S):              Yamamoto, Ichiro; Itoh, Manabu; Shimojo, Masato;
                          Yumiya, Yasunobu; Mukaihira, Takafumi; Akada,
                          Yasushige
PATENT ASSIGNEE(S):       Mochida Pharmaceutical Co., Ltd., Japan
SOURCE:                   PCT Int. Appl., 219 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 Japanese
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
     WO 9745410            A1    19971204    WO 1997-JP1828          19970529 <--
         W:  CA, JP, KR, US
         RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
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TW 430660	B	20010421	TW 1997-86107186		19970527 <--
CA 2228268	AA	19971204	CA 1997-2228268		19970529 <--
EP 858996	A1	19980819	EP 1997-924254		19970529 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
US 6040331	A	20000321	US 1998-11260		19980130 <--
PRIORITY APPLN. INFO.:			JP 1996-158985	A	19960530
			JP 1996-332764	A	19961128
			WO 1997-JP1828	W	19970529

OTHER SOURCE(S): MARPAT 128:34682
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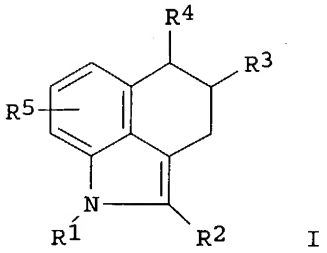


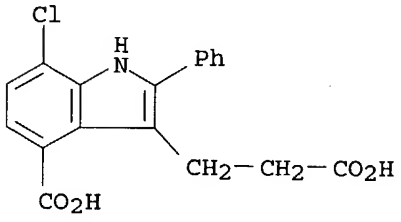
I

AB The title compds. (I; R1 = H, CO2H, alkoxycarbonyl, etc.; R2 = halo, C1-4 alkyl or alkoxy, etc.; R3, R4 = H, NR6R7; R5 = H, halo, C1-4 alkyl, etc.; R6, R7 = H, Ph, CHO, alkyl, etc.) are prepared I are useful as analgetic agents and cell protective agents for prevention and treatment of diseases accompanied by the denaturation, retraction or death of nerve cells. Thus, compound (II; X = :O) (preparation given) was treated with NH4OAc and NaBH3CN to give the title compound II (X = NH2), which at 1.0 μg/mL showed 51% inhibitory activity against death of nerve cells.

IT **199664-63-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indole derivs. as cell protective agents)

RN 199664-63-6 CAPLUS

CN 1H-Indole-3-propanoic acid, 4-carboxy-7-chloro-2-phenyl- (9CI) (CA INDEX NAME)



L14 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:119185 CAPLUS
DOCUMENT NUMBER: 124:317157
TITLE: Platelet activating factor antagonists: imidazopyridine indoles

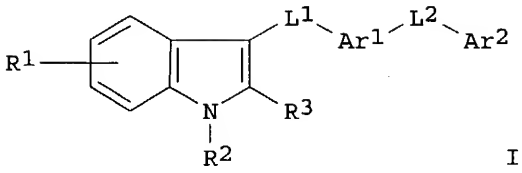
INVENTOR(S): Summers, James B., Jr.; Davidsen, Steven K.; Curtin, Michael L.; Heyman, H. Robin; Sheppard, George S.; Xu, Lianhong; Carrera, George M., Jr.; Garland, Robert B.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S., 59 pp. Cont.-in-part of U.S. Ser. No. 324,631.
CODEN: USXXAM

DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 5486525	A	19960123	US 1994-347528	19941205	<--
CA 2176247	AA	19950622	CA 1994-2176247	19941208	<--
WO 9516687	A1	19950622	WO 1994-US14112	19941208	<--
W: AU, CA, JP, KR					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
AU 9513036	A1	19950703	AU 1995-13036	19941208	<--
AU 690620	B2	19980430			
EP 734386	A1	19961002	EP 1995-904287	19941208	<--
EP 734386	B1	20020206			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
AT 212992	E	20020215	AT 1995-904287	19941208	<--
PT 734386	T	20020731	PT 1995-904287	19941208	<--
ES 2173171	T3	20021016	ES 1995-904287	19941208	<--

PRIORITY APPLN. INFO.:			
US 1993-168564	B2	19931216	
US 1994-324631	A2	19941018	
US 1994-347528	A	19941205	
WO 1994-US14112	W	19941208	

OTHER SOURCE(S): MARPAT 124:317157
GI



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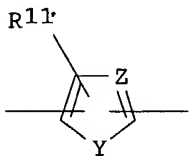

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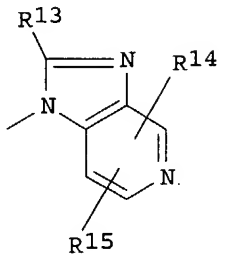
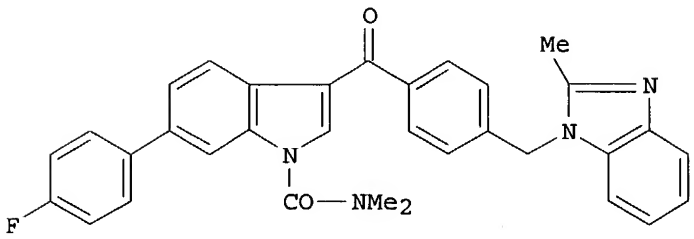


III



AB The present invention relates to compds. of formula I wherein: R1 = one or more of the groups independently selected from, e.g., H, halo, OH, cyano; R2 is selected from the group consisting of, e.g., H, alkyl of one to 6 C atoms; R3 is selected from the group consisting of H and alkyl of one to six C atoms; L1 = e.g., CO, COCH2NR4 where R4 = e.g., H, alkyl of one to six C atoms; Ar1 is radical II where Y is O, S, or CH:CH, Z is N or CH, R11 = e.g., H, alkyl of one to six C atoms; L2 is selected from, e.g., a valence bond, (un)substituted straight-chain alkylene of one to six C atoms; Ar2 is selected from, e.g., substituted benzimidazol-1-yl, imidazopyridine group III where R13 = e.g., alkyl of one to six C atoms, alkenyl of two to six C atoms; R14 and R15 are independently selected from, e.g., H, alkyl of one to six C atoms, alkenyl of two to six C atoms; and the pharmaceutically acceptable salts thereof which are potent antagonists of PAF and are useful in the treatment of PAF-related disorders including asthma, shock, respiratory distress syndrome, acute inflammation, transplanted organ rejection, gastrointestinal ulceration, allergic skin diseases, delayed cellular immunity, parturition, fetal lung maturation, and cellular differentiation. Thus, e.g., carbamoylation of 6-(4-fluorophenyl)-3-{4-[(1H-2-methylbenzimidazolyl)methyl]benzoyl}indole (preparation given) with dimethylcarbamoyl chloride afforded 1-N,N-dimethylcarbamoyl-6-(4-fluorophenyl)-3-{4-[(1H-2-methylbenzimidazolyl)methyl]benzoyl}indole (IV) which exhibited Ki = 56 nM for inhibition of specific [3H]C18-PAF binding.

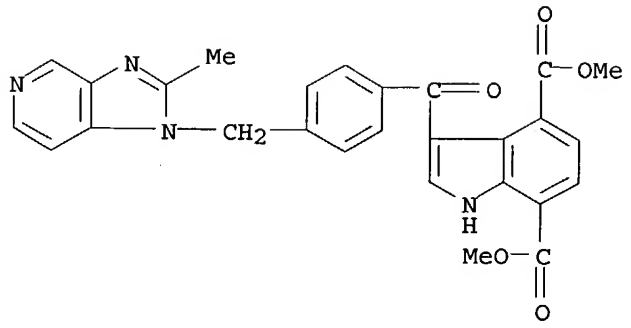
IT **170498-16-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

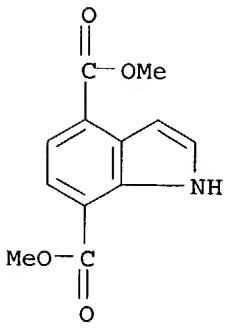
(imidazopyridine indoles as platelet activating factor antagonists)

RN 170498-16-5 CAPLUS

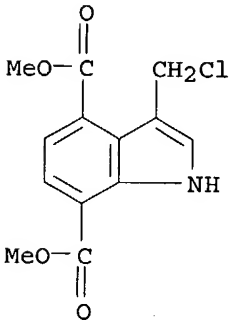
CN 1H-Indole-4,7-dicarboxylic acid, 3-[4-[(2-methyl-1H-imidazo[4,5-c]pyridin-1-yl)methyl]benzoyl]-, dimethyl ester (9CI) (CA INDEX NAME)



IT **170499-96-4P**, 4,7-Bis(methoxycarbonyl)indole **175675-75-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(imidazopyridine indoles as platelet activating factor antagonists)
RN 170499-96-4 CAPLUS
CN 1H-Indole-4,7-dicarboxylic acid, dimethyl ester (9CI) (CA INDEX NAME)



RN 175675-75-9 CAPLUS
CN 1H-Indole-4,7-dicarboxylic acid, 3-(chloromethyl)-, dimethyl ester (9CI) (CA INDEX NAME)



L14 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:928154 CAPLUS

DOCUMENT NUMBER: 123:340121
TITLE: Preparation of 3-[(imidazopyridylalkyl)benzoyl]indoles and analogs as platelet activating factor antagonists
INVENTOR(S): Summers, James B., Jr.; Davidsen, Steven K.; Curtin, Michael L.; Heyman, H. Robin; Sheppard, George S.; Xu, Lianhong; Carrera, George M., Jr.; Garland, Robert B.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 160 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9516687	A1	19950622	WO 1994-US14112	19941208 <--
W: AU, CA, JP, KR				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5486525	A	19960123	US 1994-347528	19941205 <--
CA 2176247	AA	19950622	CA 1994-2176247	19941208 <--
AU 9513036	A1	19950703	AU 1995-13036	19941208 <--
AU 690620	B2	19980430		
EP 734386	A1	19961002	EP 1995-904287	19941208 <--
EP 734386	B1	20020206		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 212992	E	20020215	AT 1995-904287	19941208 <--

PRIORITY APPLN. INFO.:

US 1993-168564	A	19931216
US 1994-324631	A	19941018
US 1994-347528	A	19941205
WO 1994-US14112	W	19941208

OTHER SOURCE(S): MARPAT 123:340121
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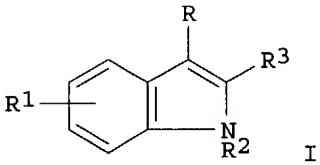

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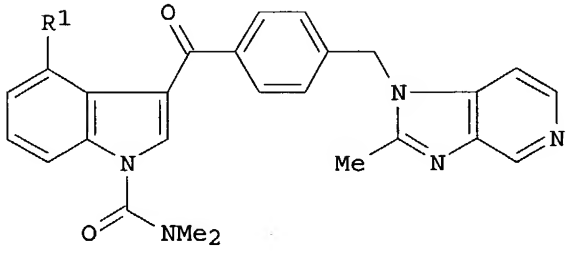


II

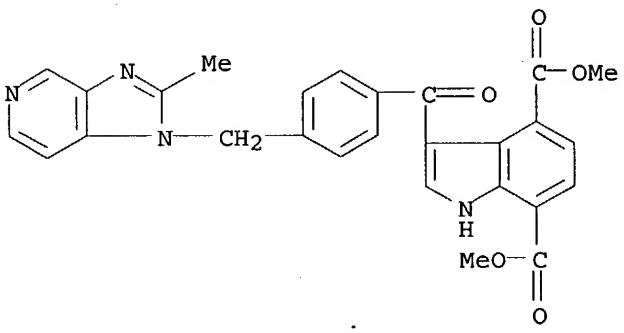
AB Title compds. [I; R = Z1Z2Z3R4; R1 = H, halo, alkyl, alkoxy, etc.; R2 = H, (carboxy)alkyl, aminoalkyl, etc.; R3 = H, alkyl; R4 = (hetero)anellated imidazolyl, etc.; Z1 = CO, CONH, C(:NNH2), etc.; Z2 = bond, phenylene, heteroarylene, etc.; Z3 = bond, (un)substituted alkylene] were prepared Thus, 4-bromoindole was converted in 4 steps to I (R = COC6H4CH2NH2, R1 = 4-Br, R2 = CONMe2, R3 = H) which was N-alkylated by 4-ethoxy-3-nitropyridine and the product converted in 2 steps to title compound II (R1 = Br). The latter was alkylated by Me3SnC.tplbond.CSiMe3 to give, after deprotection, II (R1 = C.tplbond.CH) which had Ki of 0.6nM for platelet activating factor inhibition in vitro.

IT **170498-16-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-[(imidazopyridylalkyl)benzoyl]indoles and analogs as platelet activating factor antagonists)

RN 170498-16-5 CAPLUS

CN 1H-Indole-4,7-dicarboxylic acid, 3-[4-[(2-methyl-1H-imidazo[4,5-c]pyridin-1-yl)methyl]benzoyl]-, dimethyl ester (9CI) (CA INDEX NAME)

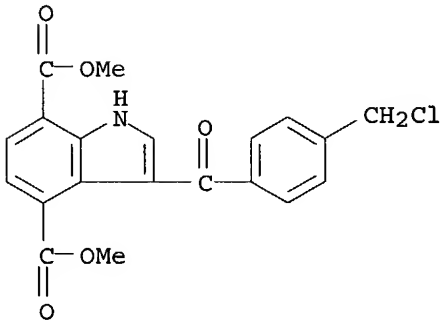


IT **170499-57-7P 170499-96-4P**, Dimethyl indole-4,7-dicarboxylate

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-[(imidazopyridylalkyl)benzoyl]indoles and analogs as platelet activating factor antagonists)

RN 170499-57-7 CAPLUS

CN 1H-Indole-4,7-dicarboxylic acid, 3-[4-(chloromethyl)benzoyl]-, dimethyl ester (9CI) (CA INDEX NAME)



RN 170499-96-4 CAPLUS

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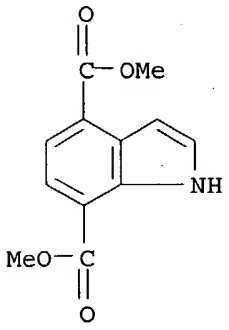

L14 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:511433 CAPLUS
DOCUMENT NUMBER: 123:198624
TITLE: Preparation of N-benzoylpiperidine-4-amines as peripheral vasodilators
INVENTOR(S): Fujioka, Takafumi; Teramoto, Shuji; Tanaka, Michinori; Shimizu, Hiroshi; Tabusa, Fujio; Tominaga, Michiaki
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 505 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9422826	A1	19941013	WO 1994-JP549	19940404	<--
W: AU, CA, CN, KR, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
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CA 2136999	C	20040511			
AU 9462928	A1	19941024	AU 1994-62928	19940404	<--
AU 674207	B2	19961212			
EP 650476	A1	19950503	EP 1994-910593	19940404	<--
EP 650476	B1	20020626			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
CN 1104412	A	19950628	CN 1994-190181	19940404	<--
CN 1052224	B	20000510			
AT 219766	E	20020715	AT 1994-910593	19940404	<--
PT 650476	T	20021129	PT 1994-910593	19940404	<--
ES 2179071	T3	20030116	ES 1994-910593	19940404	
JP 06340627	A2	19941213	JP 1994-95532	19940407	<--
JP 2825755	B2	19981118			
US 5656642	A	19970812	US 1994-347454	19941206	<--
US 5760058	A	19980602	US 1997-794322	19970203	<--
HK 1003708	A1	20020927	HK 1998-102819	19980403	<--
US 6136826	A	20001024	US 1998-66930	19980428	<--

PRIORITY APPLN. INFO.:

JP 1993-80712	A	19930407
WO 1994-JP549	W	19940404
US 1994-347454	A3	19941206
US 1997-794322	A3	19970203

OTHER SOURCE(S): MARPAT 123:198624
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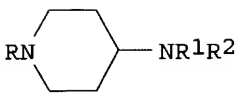

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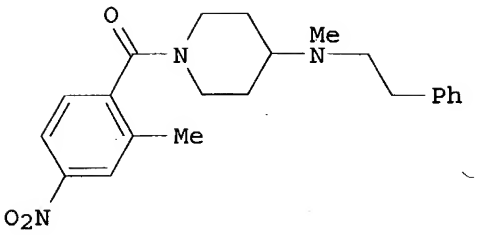


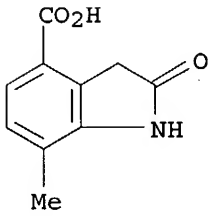
II

AB Title compds. [I; R = substituted Bz, (un)substituted carbamoyl, etc.; R1 = H, (hydroxy)alkyl; R2 = (un)substituted phenyl(oxy)alkyl; NR1R2 = (un)substituted pyrrolidino, -piperidino, morpholino, -1,2,3,4-tetrahydroisoquinolino] were prepared Thus, title compound II gave 24.0mL/min increase in femoral artery blood flow at 10-30μL of a 100nM solution intra-arterially in dogs.

IT **167627-07-8P 167627-10-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-benzoylpiperidine-4-amines as peripheral vasodilators)

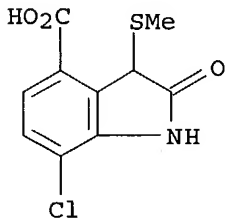
RN 167627-07-8 CAPLUS

CN 1H-Indole-4-carboxylic acid, 2,3-dihydro-7-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 167627-10-3 CAPLUS

CN 1H-Indole-4-carboxylic acid, 7-chloro-2,3-dihydro-3-(methylthio)-2-oxo- (9CI) (CA INDEX NAME)



L14 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:106973 CAPLUS

DOCUMENT NUMBER: 120:106973

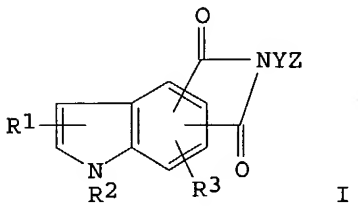
TITLE: Preparation of indoledicarboxymides as antitumor agents

INVENTOR(S): Nagai, Takashi; Myokan, Isao; Funaki, Takashi; Nomura,

Yoko; Mizutani, Masatoshi; Hori, Takako
PATENT ASSIGNEE(S): Toyama Chemical Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.
CODEN: JKXXAF
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

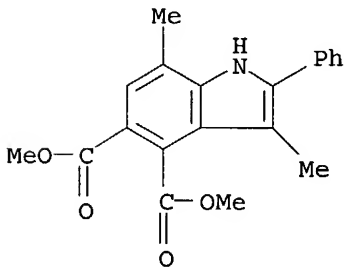
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05202048	A2	19930810	JP 1992-38615	19920129 <--
JP 3178880	B2	20010625		

PRIORITY APPLN. INFO.: JP 1992-38615 19920129
OTHER SOURCE(S): MARPAT 120:106973
GI

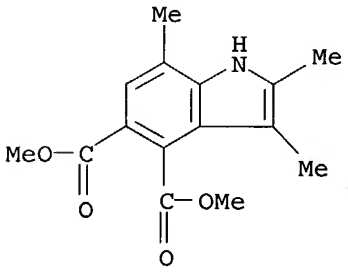


I

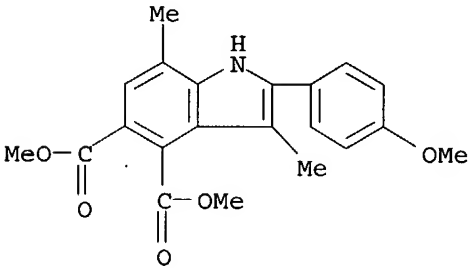
AB The title compds. I [R1 = H, (substituted) alkyl, alkenyl, aryl, etc.; R2 = H, (substituted) alkyl, acyl, etc.; R3 = H, halo, (substituted) alkyl, cycloalkyl, etc.; Y = bond, alkylene; Z = halo, NR4R5, etc.; R4, R5 = H, (substituted) alkyl, cycloalkyl, acyl, etc.; or NR4R5 = (substituted) N-containing heterocyclic ring] were prepared Condensation of 3,7-dimethyl-2-phenylindole-4,5-dicarboxylic acid anhydride with N,N-dimethylethylenediamine in xylene gave N-(2-dimethylaminoethyl)-3,7-dimethyl-2-phenyl-indole-4,5-dicarboxyimide. The title compds. in vitro had MIC values of 1.56-6.25 μg/mL against tumor HeLA S3 cells.
IT **152294-66-1P 152294-67-2P 152294-68-3P**
152294-69-4P 152294-70-7P 152294-71-8P
152294-72-9P 152294-78-5P 152294-79-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of antitumor agent)
RN 152294-66-1 CAPLUS
CN 1H-Indole-4,5-dicarboxylic acid, 3,7-dimethyl-2-phenyl-, dimethyl ester (9CI) (CA INDEX NAME)



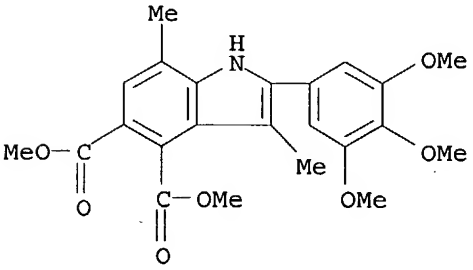
RN 152294-67-2 CAPLUS
CN 1H-Indole-4,5-dicarboxylic acid, 2,3,7-trimethyl-, dimethyl ester (9CI) (CA INDEX NAME)



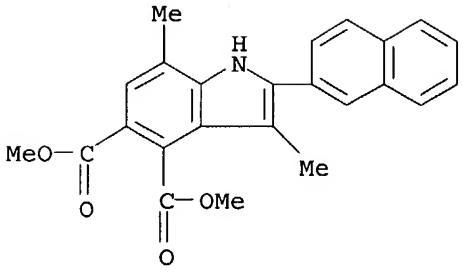
RN 152294-68-3 CAPLUS
CN 1H-Indole-4,5-dicarboxylic acid, 2-(4-methoxyphenyl)-3,7-dimethyl-, dimethyl ester (9CI) (CA INDEX NAME)



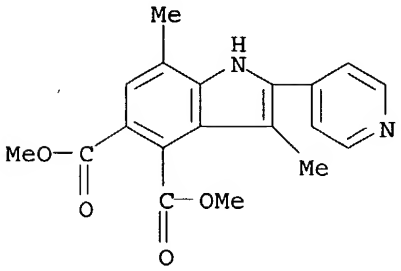
RN 152294-69-4 CAPLUS
CN 1H-Indole-4,5-dicarboxylic acid, 3,7-dimethyl-2-(3,4,5-trimethoxyphenyl)-, dimethyl ester (9CI) (CA INDEX NAME)



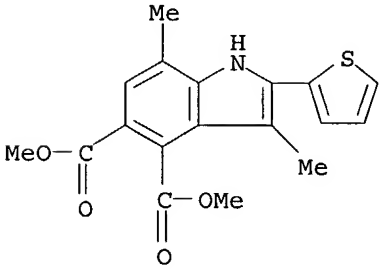
RN 152294-70-7 CAPLUS
CN 1H-Indole-4,5-dicarboxylic acid, 3,7-dimethyl-2-(2-naphthalenyl)-, dimethyl ester (9CI) (CA INDEX NAME)



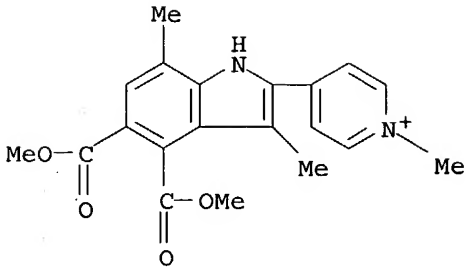
RN 152294-71-8 CAPLUS
CN 1H-Indole-4,5-dicarboxylic acid, 3,7-dimethyl-2-(4-pyridinyl)-, dimethyl ester (9CI) (CA INDEX NAME)



RN 152294-72-9 CAPLUS
CN 1H-Indole-4,5-dicarboxylic acid, 3,7-dimethyl-2-(2-thienyl)-, dimethyl ester (9CI) (CA INDEX NAME)

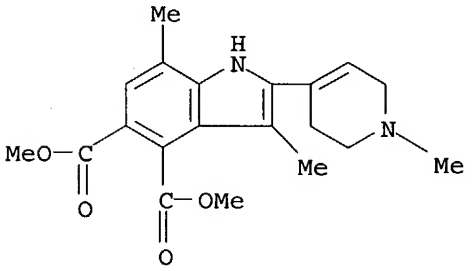


RN 152294-78-5 CAPLUS
CN Pyridinium, 4-[4,5-bis(methoxycarbonyl)-3,7-dimethyl-1H-indol-2-yl]-1-methyl-, iodide (9CI) (CA INDEX NAME)



● I^-

RN 152294-79-6 CAPLUS
CN 1H-Indole-4,5-dicarboxylic acid, 3,7-dimethyl-2-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)-, dimethyl ester (9CI) (CA INDEX NAME)

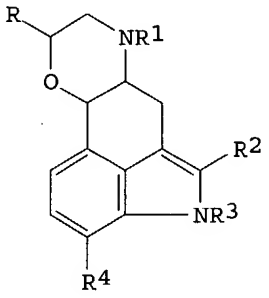


L14 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:425087 CAPLUS
DOCUMENT NUMBER: 95:25087
TITLE: Indolobenzoxazines
INVENTOR(S): Jones, James H.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 9 pp.
CODEN: USXXAM
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4238486	A	19801209	US 1979-96966	19791123 <--
EP 33767	A1	19810819	EP 1980-107206	19801120 <--
EP 33767	B1	19840627		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AT 8144	E	19840715	AT 1980-107206	19801120 <--
DK 8004975	A	19810524	DK 1980-4975	19801121 <--
AU 8064594	A1	19810528	AU 1980-64594	19801121 <--
AU 539028	B2	19840906		
ES 497064	A1	19820401	ES 1980-497064	19801121 <--
ZA 8007295	A	19820630	ZA 1980-7295	19801121 <--

JP 56087583	A2	19810716	JP 1980-164768	19801125 <--
JP 02027358	B4	19900615		
PRIORITY APPLN. INFO.:			US 1979-96966	19791123
			EP 1980-107206	19801120

GI



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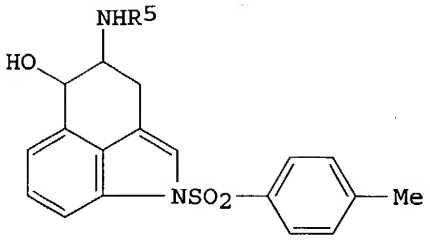


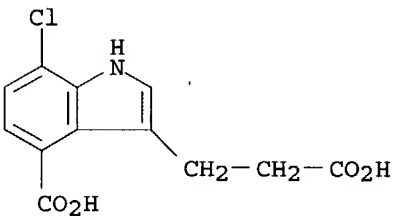
II

AB The indolobenzoxazines I (R = H, alkyl, aryl; R1 = H, alkyl, aralkyl, cycloalkyl, alkenyl; R2 = H, halo, alkyl; R3 = H, alkyl, aralkyl; R4 = H, halo, alkyl, hydroxy, alkoxy) were prepared Thus, the benzindole II (R5 = H) was treated with ClCH2COCl to give II (R5 = ClCH2CO), which was cyclized followed by LiAlH4 reduction to give I (R-R4 = H). At 50-500 mg/kg I were antihypertensive, and at 20-100 mg/kg had antiparkinson and prolactin-inhibiting activity.

IT **36800-76-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of)

RN 36800-76-7 CAPLUS

CN 1H-Indole-3-propanoic acid, 4-carboxy-7-chloro- (9CI) (CA INDEX NAME)



L14 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1973:91840 CAPLUS
DOCUMENT NUMBER:	78:91840
TITLE:	Anodic catalytic oxidation of fuel in a fuel cell
INVENTOR(S):	Schumann, Wilhelm; Zimmermann, Georg; Metzger, Hans; Ziener, Hermann; Jahnke, Horst
PATENT ASSIGNEE(S):	Bosch, Robert, G.m.b.H.
SOURCE:	Ger. Offen., 7 pp. CODEN: GWXXBX
DOCUMENT TYPE:	**Patent**
LANGUAGE:	German
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2127206	A	19721214	DE 1971-2127206	19710602 <--

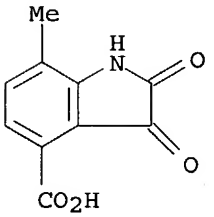
PRIORITY APPLN. INFO.: DE 1971-2127206 19710602

AB It is preferable, in the design of body-implantable fuel cells, to use catalysts which are compatible with the human metabolism. Thus, typical electrocatalysts for O reduction are the Fe phthalocyanines. Fuels for anodic oxidation may be blood-dissolved glucose or amino acids. These may be oxidized with an organic redox system as catalyst, either dissolved or adsorbed on a carrier phase. Compds. with ≥1 α-diketone grouping such as isatin or its derivs. are suitable. Pyridoxal or pyridoxal phosphates are other types of suitable compds.

IT **40663-84-1**
RL: CAT (Catalyst use); USES (Uses)
(catalyst, for implantable fuel cells)

RN 40663-84-1 CAPLUS

CN 1H-Indole-4-carboxylic acid, 2,3-dihydro-7-methyl-2,3-dioxo- (9CI) (CA INDEX NAME)



L14 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1966:439047 CAPLUS
DOCUMENT NUMBER: 65:39047
ORIGINAL REFERENCE NO.: 65:7324b-h
TITLE: Methine dyes
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: 26 pp.
DOCUMENT TYPE: **Patent**
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6513722		19660425	NL	<--

PRIORITY APPLN. INFO.: DE 19641024

GI For diagram(s), see printed CA Issue.

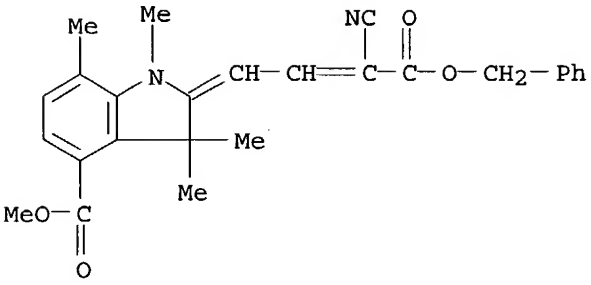
AB Greenish yellow methine dyes of the general formula I were prepared; in formula I, R1-R4 are H, Cl, Me, Et, MeO, NO2, PhSO2, CN, CO2Et, CONH2, etc., R5 = H or CN, and R6 is PhCH2 or an aralkyl group. 5-Carbomethoxy-1,3,3-trimethyl-2-methyleneindoline-ω-aldehyde (II) (25.9 parts) and 17.6 parts NCCH2CO2CH2Ph (III) in 60 vols. dioxane treated dropwise at 90° with 0.5 volume piperidine and refluxed 2 hrs. with stirring gave nearly quant. I (R1 = R3 = R4 = R5 = H, R2 = MeO, R6 = PhCH2), m. 177-8°. The 5-MeO analog of II (7 parts) and 4.8 parts III gave similarly nearly quant. I (R1 = R3 = R4 = H, R2 = MeO, R5 = CN, R6 = PhCH2) which dyes cellulose triacetate greenish yellow shades. Similarly were prepared the following I (R1 = R3 = R4 = R5 = H) (R2, R6, and m.p. given): CO2Me, o-ClC6H4CH2, 192-4°; CO2Me, p-ClC6H4CH2,

224-5°; CO2Me, p-MeC6H4CH2, 209-10°; CO2Me, MePhCH, 167°; CO2Me, PhCH2CH2, 175-6°; CO2Me, 3,4-Cl2C6H3CH2, 241-3°; CO2Me, PhCH:CHCH2, 202-3°; CO2Me, Ph(CH2)3, 148-9°; CO2Me, 2,4,6-Cl3C6H2CH2, 234-7°; CO2Me, PhOCH2CH2, 166-8°; CO2Me, p-O2NC6H4CH2, 253-4°; CO2Me, PhCH(OH)CH2, 162°; H, PhCH2, 109-11°, H, Ph(CH2)3, 111°; MeO, PhCH2, 171°; MeO, Ph(CH2)3, 190°; Me, PhCH2, 190°; Me, Ph(CH2)3, 184-5°; CONH2, PhCH2, 211°; CO2Et, PhCH2, 163°; NO2, PhCH2, 251°; CN, PhCH2, 208°; CN, Ph(CH2)3, 209°; MeSO2, PhCH2, 208°; PhCH2O2C, PhCH2, 160°; PhCH2O2C, Ph(CH2)3, 176°; EtPhNO2C, PhCH2, 146°; PhSO2, PhCH2, 208°; PhSO2, Ph(CH2)3, 161°; Cl, PhCH2, 209°; Cl, Ph(CH2)3, 198-9°; EtO, PhCH2, 175-6°; EtO, Ph(CH2)3, 149-52°; MeO, p-ClC6H4CH2, 196-7°; NH2, PhCH2, 200°; MeO, o-ClC6H4CH2, 203-5°; MeO, 3,4-Cl2C6H3CH2, 199°; NO2, 3,4-Cl2C6H3CH2, 203°; MeO, 2,4,6-Cl3C6H2CH2, 217°; Me, 2,4,6-Cl3C6H2CH2, 204°; CO2H, PhCH2, 155°; CO2H, Ph(CH2)3, 115°. Similarly were prepared the I listed in the table R1, R2, R3, R4, R5, R6, m.p.; H, MeO, H, H, CN, Ph(CH2)3, 128°; H, NO2, H, Me, H, PhCH2, 205°; H, H, H, Et, H, PhCH2, 125-7°; H, H, H, Et, H, Ph(CH2)3, 99-101°; H, H, H, Me, H, PhCH2, 134°;H, H, H, Me, H, Ph(CH2)3, 155°; H, H, H, Me, H, p-ClC6H4CH2, 196-7°; H, NO2, H, Me, H, p-O2NC6H4CH2, 242°; H, H, H, Me, H, o-ClC6H4CH2, 201°; H, H, H, Me, H, 3,4-Cl2C63CH2, 193°; H, H, H, Me, H, 2,4,6-Cl3C6H2CH2, 197°; H, MeO, H, H, CN, p-ClC6H4CH2, 198°; H, H, H, Et, H, o-ClC6H4CH2, 185°; H, H, H, EtO, H, o-ClC6H4CH2, 198°; H, MeO, H, H, CN, 2,4,6-Cl3C6H2CH2, 193°; H, Cl, H, Me, H, PhCH2, 211°; H, Cl, H, Me H, Ph(CH2)3, 161°; Cl, H, H, Me, H, PhCH2, 170°; Cl, H, H, Me, H, Ph(CH2)3, 181°; H, H, Cl, Me, H, Ph(CH2)3, 166°; H, Cl, H, MeO, H, PhCH2, 230°; H, Cl, H, MeO, H, Ph(CH2)3, 151°; Cl, H, H, MeO, H, PhCH2, 151°; Cl, H, H, MeO, H, Ph(CH2)3, 118°; CO2Me, H, H, Me, H, PhCH2, 195°; CO2Me, H, H, Me, H, Ph(CH2)3, 250°; H, MeO, H, H, CN, PhCH:CHCH2, 129°; H, MeO, H, H, CN, PhOCH2CH2, 154°; CO2Me, H, CO2Me, H, H, PhCH2, 205°; CO2Me, H, CO2Me, H, H, Ph(CH2)3, 162°; Cl, Cl, H, MeO, H, PhCH2, 191-2°; Cl, Cl, H, MeO, H, Ph(CH2)3, 146-8°; MeO, Cl, H, MeO, H, PhCH2, 143°; MeO, Cl, H, MeO, H, Ph(CH2)3, 144°; Me, Cl, H, MeO, H, PhCH2, 199-200°; Me, Cl, H, MeO, H, Ph(CH2)3, 141°

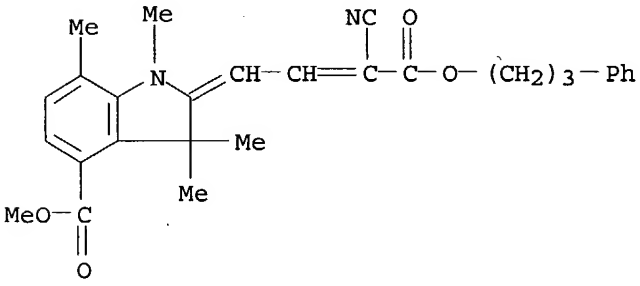
IT **7064-79-1**, Δ2,γ-Indolinecrotonic acid, 4-carboxy-α-cyano-1,3,3,7-tetramethyl-, benzyl 4-Me ester
7064-80-4, Δ2,γ-Indolinecrotonic acid, 4-carboxy-α-cyano-1,3,3,7-tetramethyl-, 4-methyl 3-phenylpropyl ester
(preparation of)

RN 7064-79-1 CAPLUS

CN Δ2,γ-Indolinecrotonic acid, 4-carboxy-α-cyano-1,3,3,7-tetramethyl-, benzyl 4-methyl ester (7CI, 8CI) (CA INDEX NAME)



RN 7064-80-4 CAPLUS
CN Δ2,γ-Indolinecrotonic acid, 4-carboxy-α-cyano-1,3,3,7-tetramethyl-, 4-methyl 3-phenylpropyl ester (7CI, 8CI) (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 13:36:14 ON 25 AUG 2004)

FILE 'REGISTRY' ENTERED AT 13:36:25 ON 25 AUG 2004
L1 STRUCTURE UPLOADED
L2 0 S L1
L3 8 S L1 SSS FULL

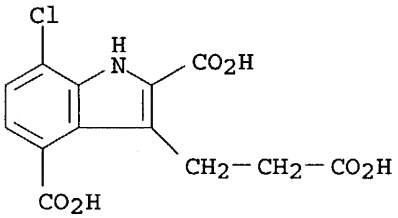
FILE 'CAPLUS' ENTERED AT 13:36:56 ON 25 AUG 2004
L4 3 S L3
L5 0 S L4 AND PY<=2002

FILE 'REGISTRY' ENTERED AT 13:40:06 ON 25 AUG 2004
L6 STRUCTURE UPLOADED
L7 0 S L6
L8 0 S L6 SSS FULL
L9 STRUCTURE UPLOADED
L10 1 S L9
L11 259 S L9 SSS FULL

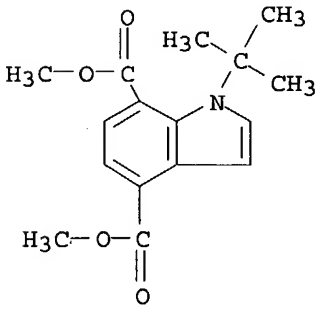
FILE 'CAPLUS' ENTERED AT 13:46:00 ON 25 AUG 2004
L12 41 S L11
L13 35 S L12 AND PY<=2002
L14 8 S L13 AND P/DT

=> d l13 ibib abs hitstr tot

L13 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:861062 CAPLUS
DOCUMENT NUMBER: 139:197300
TITLE: Product class 13: indole and its derivatives
AUTHOR(S): Joule, J. A.
CORPORATE SOURCE: Department of Chemistry, University of Manchester, Manchester, M13 9PL, UK
SOURCE: Science of Synthesis (2001), 10, 361-652
CODEN: SSCYJ9
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review of preparation of indoles and its derivs. Covered reactions include cyclization, ring transformation, aromatization and substituent modifications. Subclasses covered include 1H-indol-1-ols, 1,3-dihydro-2H-indol-2-ones, and 1,2-dihydro-3H-indol-3-ones.
IT **36800-67-6P 74809-27-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(review of preparation of indoles and analogs thereof via cyclization, ring transformation, aromatization and substituent modifications)
RN 36800-67-6 CAPLUS
CN 1H-Indole-2,4-dicarboxylic acid, 3-(2-carboxyethyl)-7-chloro- (9CI) (CA INDEX NAME)



RN 74809-27-1 CAPLUS
CN 1H-Indole-4,7-dicarboxylic acid, 1-(1,1-dimethylethyl)-, dimethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1348 THERE ARE 1348 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:58 CAPLUS
DOCUMENT NUMBER: 128:57082

TITLE: Discovery and Evaluation of a Series of 3-Acylindole Imidazopyridine Platelet-Activating Factor Antagonists

AUTHOR(S): Curtin, Michael L.; Davidsen, Steven K.; Heyman, H. Robin; Garland, Robert B.; Sheppard, George S.; Florjancic, Alan S.; Xu, Lianhong; Carrera, George M.,Jr.; Steinman, Douglas H.; Trautmann, Jeff A.; Albert, Daniel H.; Magoc, Terrance J.; Tapang, Paul; Rhein, David A.; Conway, Richard G.; Luo, Gongjin; Denissen, Jon F.; Marsh, Kennan C.; Morgan, Douglas W.; Summers, James B.

CORPORATE SOURCE: Immunosciences Research Area, Pharmaceutical Products Division, Abbott Laboratories, Abbott Park, IL, 60064-3500, USA

SOURCE: Journal of Medicinal Chemistry (**1998**), 41(1), 74-95
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

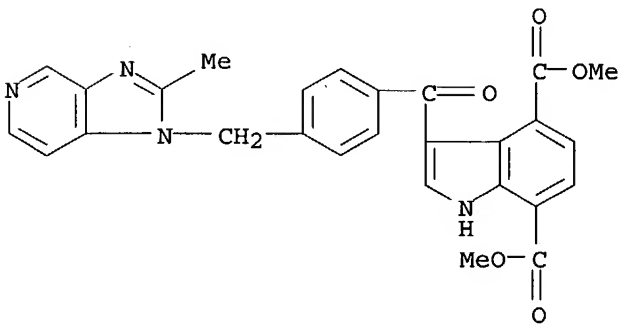
LANGUAGE: English

AB Studies conducted with the goal of discovering a second-generation platelet-activating factor (PAF) antagonist have identified a novel class of potent and orally active antagonists which have high aqueous solubility and long duration of action in animal models. The compds. arose from the combination of the lipophilic indole portion of Abbott's first-generation PAF antagonist ABT-299 with the methylimidazopyridine heterocycle moiety of British Biotechnol.'s BB-882 and possess the pos. attributes of both of these clin. candidates. Structure-activity relationship (SAR) studies indicated that modification of the indole and benzoyl spacer of lead compound 1-(N,N-Dimethylcarbamoyl)-6-(4-fluorophenyl)-3-{4-[(1H-2-methylimidazo[4,5-c]pyrid-1-yl)methyl]benzoyl}indole gave analogs that were more potent, longer-lived, and bioavailable and resulted in the identification of 1-(N,N-dimethylcarbamoyl)-4-ethynyl-3-{3-fluoro-4-[(1H-2-methylimidazo[4,5-c]pyrid-1-yl)methyl]benzoyl}indole hydrochloride (ABT-491) which has been evaluated extensively and is currently in clin. development.

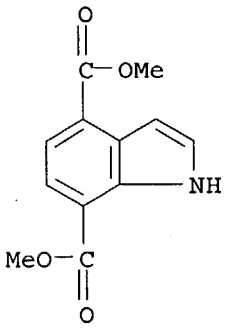
IT **170498-16-5P**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(acylindole imidazopyridine PAF antagonist preparation and evaluation)

RN 170498-16-5 CAPLUS

CN 1H-Indole-4,7-dicarboxylic acid, 3-[4-[(2-methyl-1H-imidazo[4,5-c]pyridin-1-yl)methyl]benzoyl]-, dimethyl ester (9CI) (CA INDEX NAME)



IT **170499-96-4**, 4,7-Bis(methoxycarbonyl)indole
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction; acylindole imidazopyridine PAF antagonist preparation and evaluation)
RN 170499-96-4 CAPLUS
CN 1H-Indole-4,7-dicarboxylic acid, dimethyl ester (9CI) (CA INDEX NAME)

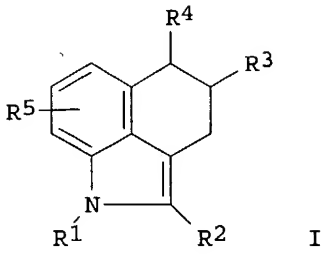


REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 3 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:805722 CAPLUS
DOCUMENT NUMBER: 128:34682
TITLE: Preparation of indole derivatives as cell protective agents
INVENTOR(S): Yamamoto, Ichiro; Itoh, Manabu; Shimojo, Masato; Yumiya, Yasunobu; Mukaihira, Takafumi; Akada, Yasushige
PATENT ASSIGNEE(S): Mochida Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 219 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9745410	A1	19971204	WO 1997-JP1828		19970529 <--
W: CA, JP, KR, US					
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
TW 430660	B	20010421	TW 1997-86107186		19970527 <--
CA 2228268	AA	19971204	CA 1997-2228268		19970529 <--
EP 858996	A1	19980819	EP 1997-924254		19970529 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
US 6040331	A	20000321	US 1998-11260		19980130 <--
PRIORITY APPLN. INFO.:			JP 1996-158985	A	19960530
			JP 1996-332764	A	19961128
			WO 1997-JP1828	W	19970529

OTHER SOURCE(S): MARPAT 128:34682
GI



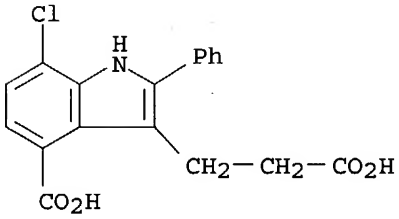
I

AB The title compds. (I; R1 = H, CO2H, alkoxycarbonyl, etc.; R2 = halo, C1-4 alkyl or alkoxy, etc.; R3, R4 = H, NR6R7; R5 = H, halo, C1-4 alkyl, etc.; R6, R7 = H, Ph, CHO, alkyl, etc.) are prepared I are useful as analgetic agents and cell protective agents for prevention and treatment of diseases accompanied by the denaturation, retraction or death of nerve cells. Thus, compound (II; X = :O) (preparation given) was treated with NH4OAc and NaBH3CN to give the title compound II (X = NH2), which at 1.0 μg/mL showed 51% inhibitory activity against death of nerve cells.

IT **199664-63-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indole derivs. as cell protective agents)

RN 199664-63-6 CAPLUS

CN 1H-Indole-3-propanoic acid, 4-carboxy-7-chloro-2-phenyl- (9CI) (CA INDEX NAME)



L13 ANSWER 4 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:119185 CAPLUS

DOCUMENT NUMBER: 124:317157

TITLE: Platelet activating factor antagonists: imidazopyridine indoles

INVENTOR(S): Summers, James B., Jr.; Davidsen, Steven K.; Curtin, Michael L.; Heyman, H. Robin; Sheppard, George S.; Xu, Lianhong; Carrera, George M., Jr.; Garland, Robert B.

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: U.S., 59 pp. Cont.-in-part of U.S. Ser. No. 324,631.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

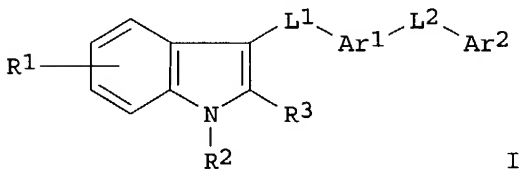
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

US 5486525	A	19960123	US 1994-347528	19941205	<--
CA 2176247	AA	19950622	CA 1994-2176247	19941208	<--
WO 9516687	A1	19950622	WO 1994-US14112	19941208	<--
W: AU, CA, JP, KR					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
AU 9513036	A1	19950703	AU 1995-13036	19941208	<--
AU 690620	B2	19980430			
EP 734386	A1	19961002	EP 1995-904287	19941208	<--
EP 734386	B1	20020206			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
AT 212992	E	20020215	AT 1995-904287	19941208	<--
PT 734386	T	20020731	PT 1995-904287	19941208	<--
ES 2173171	T3	20021016	ES 1995-904287	19941208	<--

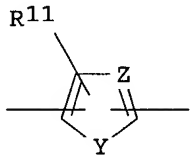
PRIORITY APPLN. INFO.:	US 1993-168564	B2	19931216
	US 1994-324631	A2	19941018
	US 1994-347528	A	19941205
	WO 1994-US14112	W	19941208

OTHER SOURCE(S): MARPAT 124:317157

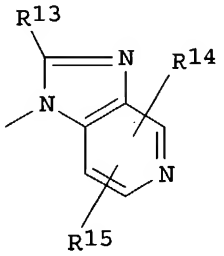
GI



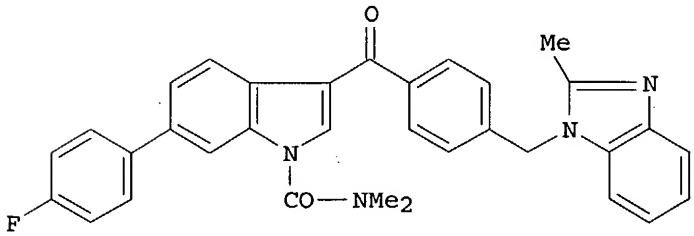
I



II



III



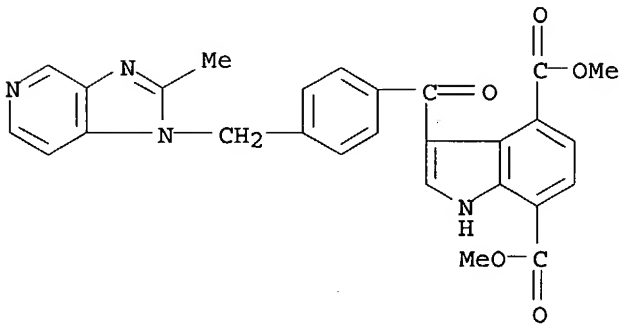
AB The present invention relates to compds. of formula I wherein: R1 = one or more of the groups independently selected from, e.g., H, halo, OH, cyano; R2 is selected from the group consisting of, e.g., H, alkyl of one to 6 C atoms; R3 is selected from the group consisting of H and alkyl of one to six C atoms; L1 = e.g., CO, COCH2NR4 where R4 = e.g., H, alkyl of one to six C atoms; Ar1 is radical II where Y is O, S, or CH:CH, Z is N or CH, R11 = e.g., H, alkyl of one to six C atoms; L2 is selected from, e.g., a valence bond, (un)substituted straight-chain alkylene of one to six C atoms; Ar2 is selected from, e.g., substituted benzimidazol-1-yl,

imidazopyridine group III where R13 = e.g., alkyl of one to six C atoms, alkenyl of two to six C atoms; R14 and R15 are independently selected from, e.g., H, alkyl of one to six C atoms, alkenyl of two to six C atoms; and the pharmaceutically acceptable salts thereof which are potent antagonists of PAF and are useful in the treatment of PAF-related disorders including asthma, shock, respiratory distress syndrome, acute inflammation, transplanted organ rejection, gastrointestinal ulceration, allergic skin diseases, delayed cellular immunity, parturition, fetal lung maturation, and cellular differentiation. Thus, e.g., carbamoylation of 6-(4-fluorophenyl)-3-{4-[(1H-2-methylbenzimidazolyl)methyl]benzoyl}indole (preparation given) with dimethylcarbamoyl chloride afforded 1-N,N-dimethylcarbamoyl-6-(4-fluorophenyl)-3-{4-[(1H-2-methylbenzimidazolyl)methyl]benzoyl}indole (IV) which exhibited Ki = 56 nM for inhibition of specific [3H]C18-PAF binding.

IT **170498-16-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(imidazopyridine indoles as platelet activating factor antagonists)

RN 170498-16-5 CAPLUS

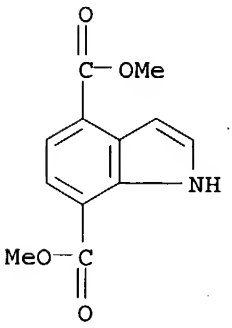
CN 1H-Indole-4,7-dicarboxylic acid, 3-[4-[(2-methyl-1H-imidazo[4,5-c]pyridin-1-yl)methyl]benzoyl]-, dimethyl ester (9CI) (CA INDEX NAME)



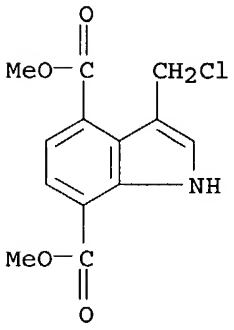
IT **170499-96-4P**, 4,7-Bis(methoxycarbonyl)indole **175675-75-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(imidazopyridine indoles as platelet activating factor antagonists)

RN 170499-96-4 CAPLUS

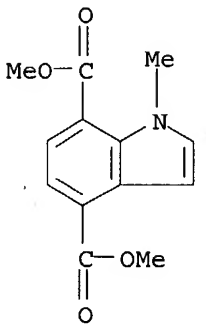
CN 1H-Indole-4,7-dicarboxylic acid, dimethyl ester (9CI) (CA INDEX NAME)



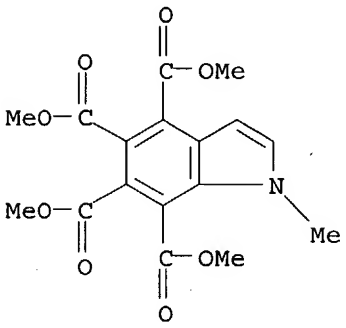
RN 175675-75-9 CAPLUS
CN 1H-Indole-4,7-dicarboxylic acid, 3-(chloromethyl)-, dimethyl ester (9CI) (CA INDEX NAME)



L13 ANSWER 5 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:104544 CAPLUS
DOCUMENT NUMBER: 124:260071
TITLE: Theoretical study of the reactions of 1-methyl-2-vinylpyrrole with methyl propiolate and with dimethyl acetylenedicarboxylate
AUTHOR(S): Domingo, Luis R.; Jones, R. Alan; Picher, M. Teresa; Sepulveda-Arques, Jose
CORPORATE SOURCE: Departament de Quimica Organica, Universitat de Valencia, Dr Moliner 50, 46100-Burjassot, Valencia, Spain
SOURCE: THEOCHEM (**1996**), 362(2), 209-13
CODEN: THEODJ; ISSN: 0166-1280
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A theor. study of the transition structures for the reactions of 1-methyl-2-vinylpyrrole 1 with Me propiolate (MP) and with di-Me acetylenedicarboxylate (DMAD) indicates that, for this vinyl system, the factor controlling the different courses of the reaction is the lower activation energy for the formation of the transition state in the second cycloaddn. with MP, compared to that with DMAD.
IT **74825-03-9 175400-78-9**
RL: FMU (Formation, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); FORM (Formation, nonpreparative); PROC (Process)
(MO study of Diels-Alder reaction 1-methyl-2-vinylpyrrole with Me propiolate and with di-Me acetylenedicarboxylate)
RN 74825-03-9 CAPLUS
CN 1H-Indole-4,7-dicarboxylic acid, 1-methyl-, dimethyl ester (9CI) (CA INDEX NAME)



RN 175400-78-9 CAPLUS
CN 1H-Indole-4,5,6,7-tetracarboxylic acid, 1-methyl-, tetramethyl ester (9CI) (CA INDEX NAME)



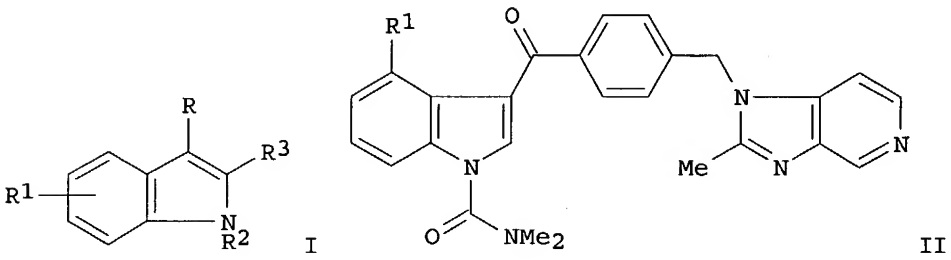
L13 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:928154 CAPLUS
DOCUMENT NUMBER: 123:340121
TITLE: Preparation of 3-[(imidazopyridylalkyl)benzoyl]indoles and analogs as platelet activating factor antagonists
INVENTOR(S): Summers, James B., Jr.; Davidsen, Steven K.; Curtin, Michael L.; Heyman, H. Robin; Sheppard, George S.; Xu, Lianhong; Carrera, George M., Jr.; Garland, Robert B.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 160 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9516687	A1	19950622	WO 1994-US14112	19941208 <--
W: AU, CA, JP, KR				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5486525	A	19960123	US 1994-347528	19941205 <--
CA 2176247	AA	19950622	CA 1994-2176247	19941208 <--
AU 9513036	A1	19950703	AU 1995-13036	19941208 <--
AU 690620	B2	19980430		

EP 734386	A1	19961002	EP 1995-904287		19941208 <--
EP 734386	B1	20020206			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
AT 212992	E	20020215	AT 1995-904287		19941208 <--
PRIORITY APPLN. INFO.:			US 1993-168564	A	19931216
			US 1994-324631	A	19941018
			US 1994-347528	A	19941205
			WO 1994-US14112	W	19941208

OTHER SOURCE(S): MARPAT 123:340121

GI



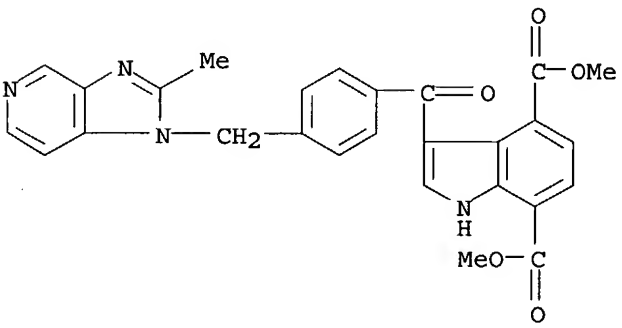
I II

AB Title compds. [I; R = Z1Z2Z3R4; R1 = H, halo, alkyl, alkoxy, etc.; R2 = H, (carboxy)alkyl, aminoalkyl, etc.; R3 = H, alkyl; R4 = (hetero)anellated imidazolyl, etc.; Z1 = CO, CONH, C(:NNH2), etc.; Z2 = bond, phenylene, heteroarylene, etc.; Z3 = bond, (un)substituted alkylene] were prepared Thus, 4-bromoindole was converted in 4 steps to I (R = COC6H4CH2NH2, R1 = 4-Br, R2 = CONMe2, R3 = H) which was N-alkylated by 4-ethoxy-3-nitropyridine and the product converted in 2 steps to title compound II (R1 = Br). The latter was alkylated by Me3SnC.tplbond.CSiMe3 to give, after deprotection, II (R1 = C.tplbond.CH) which had Ki of 0.6nM for platelet activating factor inhibition in vitro.

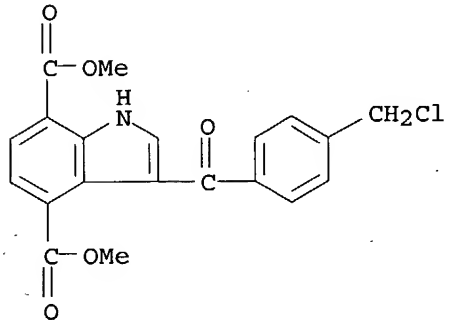
IT **170498-16-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-[(imidazopyridylalkyl)benzoyl]indoles and analogs as platelet activating factor antagonists)

RN 170498-16-5 CAPLUS

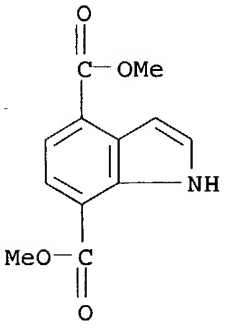
CN 1H-Indole-4,7-dicarboxylic acid, 3-[4-[(2-methyl-1H-imidazo[4,5-c]pyridin-1-yl)methyl]benzoyl]-, dimethyl ester (9CI) (CA INDEX NAME)



IT **170499-57-7P 170499-96-4P**, Dimethyl indole-4,7-dicarboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-[(imidazopyridylalkyl)benzoyl]indoles and analogs as platelet activating factor antagonists)
RN 170499-57-7 CAPLUS
CN 1H-Indole-4,7-dicarboxylic acid, 3-[4-(chloromethyl)benzoyl]-, dimethyl ester (9CI) (CA INDEX NAME)



RN 170499-96-4 CAPLUS
CN 1H-Indole-4,7-dicarboxylic acid, dimethyl ester (9CI) (CA INDEX NAME)



L13 ANSWER 7 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:511433 CAPLUS
DOCUMENT NUMBER: 123:198624
TITLE: Preparation of N-benzoylpiperidine-4-amines as peripheral vasodilators
INVENTOR(S): Fujioka, Takafumi; Teramoto, Shuji; Tanaka, Michinori; Shimizu, Hiroshi; Tabusa, Fujio; Tominaga, Michiaki
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 505 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9422826	A1	19941013	WO 1994-JP549	19940404 <--
W: AU, CA, CN, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2136999	AA	19941013	CA 1994-2136999	19940404 <--
CA 2136999	C	20040511		
AU 9462928	A1	19941024	AU 1994-62928	19940404 <--
AU 674207	B2	19961212		
EP 650476	A1	19950503	EP 1994-910593	19940404 <--
EP 650476	B1	20020626		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1104412	A	19950628	CN 1994-190181	19940404 <--
CN 1052224	B	20000510		
AT 219766	E	20020715	AT 1994-910593	19940404 <--
PT 650476	T	20021129	PT 1994-910593	19940404 <--
ES 2179071	T3	20030116	ES 1994-910593	19940404
JP 06340627	A2	19941213	JP 1994-95532	19940407 <--
JP 2825755	B2	19981118		
US 5656642	A	19970812	US 1994-347454	19941206 <--
US 5760058	A	19980602	US 1997-794322	19970203 <--
HK 1003708	A1	20020927	HK 1998-102819	19980403 <--
US 6136826	A	20001024	US 1998-66930	19980428 <--

PRIORITY APPLN. INFO.:	JP 1993-80712	A	19930407
	WO 1994-JP549	W	19940404
	US 1994-347454	A3	19941206
	US 1997-794322	A3	19970203

OTHER SOURCE(S): MARPAT 123:198624

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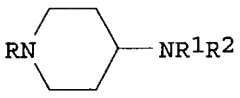
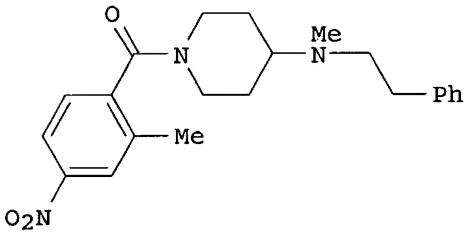


I



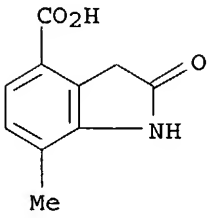
II

AB Title compds. [I; R = substituted Bz, (un)substituted carbamoyl, etc.; R1 = H, (hydroxy)alkyl; R2 = (un)substituted phenyl(oxy)alkyl; NR1R2 = (un)substituted pyrrolidino, -piperidino, morpholino, -1,2,3,4-tetrahydroisoquinolino] were prepared Thus, title compound II gave 24.0mL/min increase in femoral artery blood flow at 10-30μL of a 100nM solution intra-arterially in dogs.

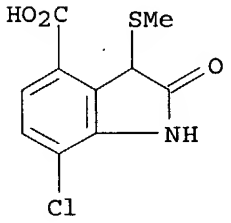
IT **167627-07-8P 167627-10-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-benzoylpiperidine-4-amines as peripheral vasodilators)

RN 167627-07-8 CAPLUS

CN 1H-Indole-4-carboxylic acid, 2,3-dihydro-7-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 167627-10-3 CAPLUS
CN 1H-Indole-4-carboxylic acid, 7-chloro-2,3-dihydro-3-(methylthio)-2-oxo-(9CI) (CA INDEX NAME)

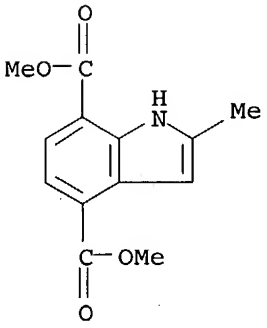


L13 ANSWER 8 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:264059 CAPLUS
DOCUMENT NUMBER: 122:133016
TITLE: Synthesis of pyrano[4,3-b]azepines by [4 + 2] cycloaddition of photochemically generated 3-alkoxycarbonyl-1,2-didehydroazepines with enol ethers
AUTHOR(S): Tueckmantel, Werner
CORPORATE SOURCE: Pharmazeutisch-Chem. Inst., Univ. Heidelberg, Heidelberg, D-69120, Germany
SOURCE: Liebigs Annalen der Chemie (**1994**), (12), 1165-71
CODEN: LACHDL; ISSN: 0170-2041
PUBLISHER: VCH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:133016

AB 3-Alkoxycarbonyl-1,2-didehydroazepines, generated by photolysis of alkyl 2-azidobenzoates, undergo a hetero-[4 + 2] cycloaddn. (stepwise or concerted) with ketone-derived enol ethers to form intensely colored, paratropic 6,8-dialkoxy-8,9-dihydropyrano[4,3-b]azepines, which contain the unusual 3-azaheptafulvene partial structure. Other derivs. of 2-azidobenzoic acid as well as aldehyde-derived enol ethers, other classes of olefins, phenol ethers, and furans are unreactive although 2-methoxynaphthalene undergoes demethylation to produce Me 2-(2-naphthyloxy)-3H-azepine-3-carboxylate. Acid-catalyzed hydrolysis of the title compds. produces 2-(acylmethylene)-2,3-dihydro-1H-azepine-3-carboxylates and indoles; catalytic hydrogenation generates a tetrahydro derivative, and diastereomeric tricarbonylation complexes are formed with Fe2(CO)9 at the conjugated diene moiety. An intensely colored byproduct of the photolysis reaction is identified as the first known derivative of 3,3'-diazaheptafulvalene.

IT **160777-53-7P**

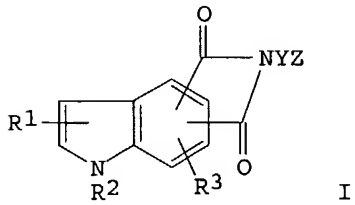
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 160777-53-7 CAPLUS
CN 1H-Indole-4,7-dicarboxylic acid, 2-methyl-, dimethyl ester (9CI) (CA INDEX NAME)



L13 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:106973 CAPLUS
DOCUMENT NUMBER: 120:106973
TITLE: Preparation of indoledicarboxymides as antitumor agents
INVENTOR(S): Nagai, Takashi; Myokan, Isao; Funaki, Takashi; Nomura, Yoko; Mizutani, Masatoshi; Hori, Takako
PATENT ASSIGNEE(S): Toyama Chemical Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05202048	A2	19930810	JP 1992-38615	19920129 <--
JP 3178880	B2	20010625		

PRIORITY APPLN. INFO.: JP 1992-38615 19920129
OTHER SOURCE(S): MARPAT 120:106973
GI



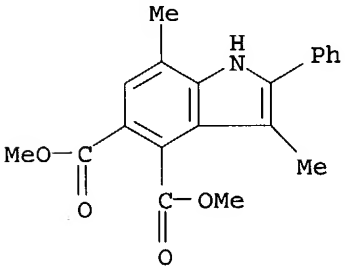
I

AB The title compds. I [R1 = H, (substituted) alkyl, alkenyl, aryl, etc.; R2 = H, (substituted) alkyl, acyl, etc.; R3 = H, halo, (substituted) alkyl, cycloalkyl, etc.; Y = bond, alkylene; Z = halo, NR4R5, etc.; R4, R5 = H, (substituted) alkyl, cycloalkyl, acyl, etc.; or NR4R5 = (substituted)

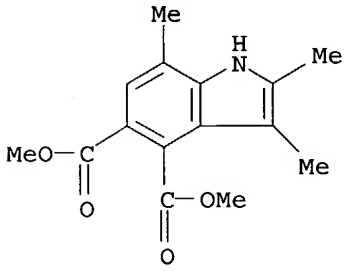
N-containing heterocyclic ring] were prepared Condensation of 3,7-dimethyl-2-phenylindole-4,5-dicarboxylic acid anhydride with N,N-dimethylethylenediamine in xylene gave N-(2-dimethylaminoethyl)-3,7-dimethyl-2-phenyl-indole-4,5-dicarboxyimide. The title compds. in vitro had MIC values of 1.56-6.25 μg/mL against tumor HeLA S3 cells.

IT **152294-66-1P 152294-67-2P 152294-68-3P**
152294-69-4P 152294-70-7P 152294-71-8P
152294-72-9P 152294-78-5P 152294-79-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of antitumor agent)

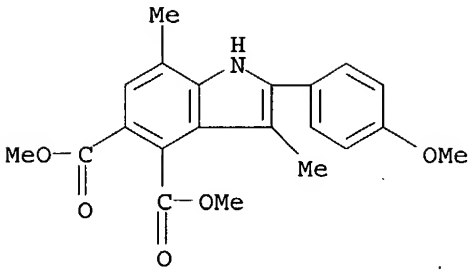
RN 152294-66-1 CAPLUS
CN 1H-Indole-4,5-dicarboxylic acid, 3,7-dimethyl-2-phenyl-, dimethyl ester (9CI) (CA INDEX NAME)



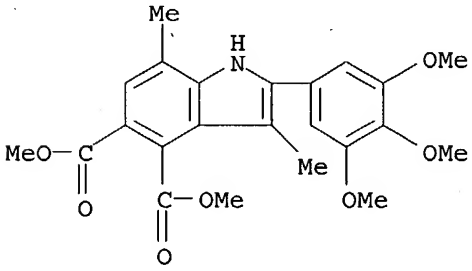
RN 152294-67-2 CAPLUS
CN 1H-Indole-4,5-dicarboxylic acid, 2,3,7-trimethyl-, dimethyl ester (9CI) (CA INDEX NAME)



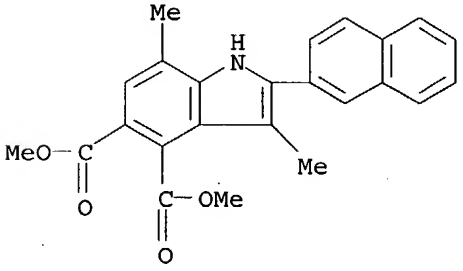
RN 152294-68-3 CAPLUS
CN 1H-Indole-4,5-dicarboxylic acid, 2-(4-methoxyphenyl)-3,7-dimethyl-, dimethyl ester (9CI) (CA INDEX NAME)



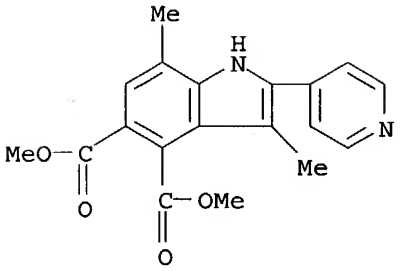
RN 152294-69-4 CAPLUS
CN 1H-Indole-4,5-dicarboxylic acid, 3,7-dimethyl-2-(3,4,5-trimethoxyphenyl)-, dimethyl ester (9CI) (CA INDEX NAME)



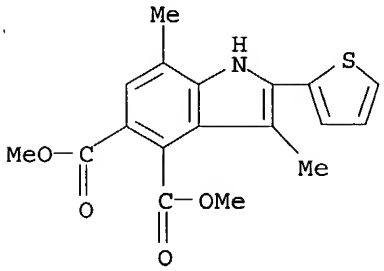
RN 152294-70-7 CAPLUS
CN 1H-Indole-4,5-dicarboxylic acid, 3,7-dimethyl-2-(2-naphthalenyl)-, dimethyl ester (9CI) (CA INDEX NAME)



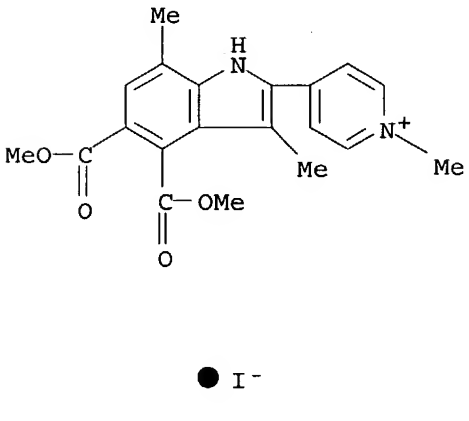
RN 152294-71-8 CAPLUS
CN 1H-Indole-4,5-dicarboxylic acid, 3,7-dimethyl-2-(4-pyridinyl)-, dimethyl ester (9CI) (CA INDEX NAME)



RN 152294-72-9 CAPLUS
CN 1H-Indole-4,5-dicarboxylic acid, 3,7-dimethyl-2-(2-thienyl)-, dimethyl ester (9CI) (CA INDEX NAME)

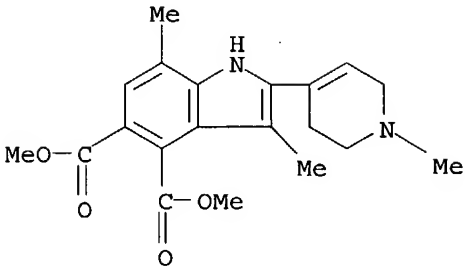


RN 152294-78-5 CAPLUS
CN Pyridinium, 4-[4,5-bis(methoxycarbonyl)-3,7-dimethyl-1H-indol-2-yl]-1-methyl-, iodide (9CI) (CA INDEX NAME)

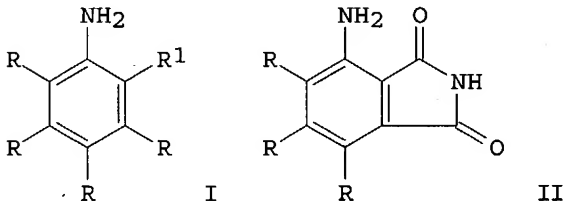


● I^-

RN 152294-79-6 CAPLUS
CN 1H-Indole-4,5-dicarboxylic acid, 3,7-dimethyl-2-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)-, dimethyl ester (9CI) (CA INDEX NAME)



L13 ANSWER 10 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:151256 CAPLUS
DOCUMENT NUMBER: 116:151256
TITLE: Copper(II) in organic synthesis. IX. The copper(II)-catalyzed Michael reaction as a route to polysubstituted benzene derivatives
AUTHOR(S): Desimoni, Giovanni; Invernizzi, Anna Gamba; Quadrelli, Paolo; Righetti, Pier Paolo
CORPORATE SOURCE: Dip. Chim. Org., Univ. Pavia, Pavia, I-27100, Italy
SOURCE: Gazzetta Chimica Italiana (**1991**), 121(10), 483-5
CODEN: GCITA9; ISSN: 0016-5603
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 116:151256
GI



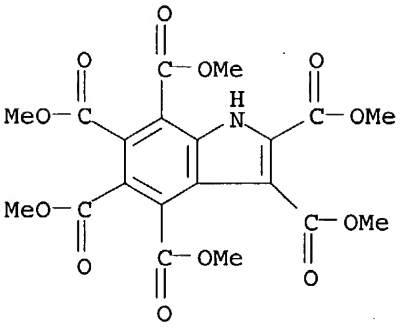
I II

AB Cyclization of RC.tplbond.CR (R = CO2Me) with R1CH2CN (R1 = CN, CO2Me) in dioxane catalyzed by Cu2(OAc)4, gave 20-41% anilines I, whereas H2NCOCH2CN gave 16% II.

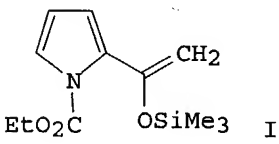
IT **139286-25-2P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and spectra of)

RN 139286-25-2 CAPLUS

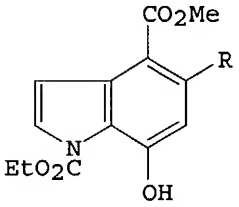
CN 1H-Indole-2,3,4,5,6,7-hexacarboxylic acid, hexamethyl ester (9CI) (CA INDEX NAME)



L13 ANSWER 11 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:583012 CAPLUS
DOCUMENT NUMBER: 115:183012
TITLE: [4+2] Cycloaddition reaction of N-(ethoxycarbonyl)-2-[1-(trimethylsiloxy)vinyl]pyrrole with acetylenic carboxylates
AUTHOR(S): Ohno, Masatomi; Shimizu, Sadahiro; Eguchi, Shoji
CORPORATE SOURCE: Fac. Eng., Nagoya Univ., Nagoya, 464, Japan
SOURCE: Heterocycles (**1991**), 32(6), 1199-202
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 115:183012
GI



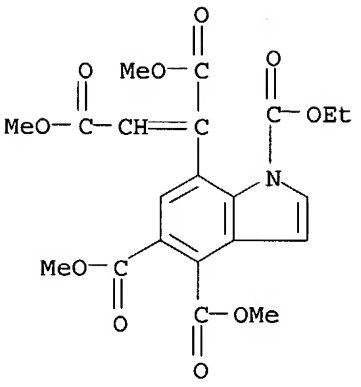
I



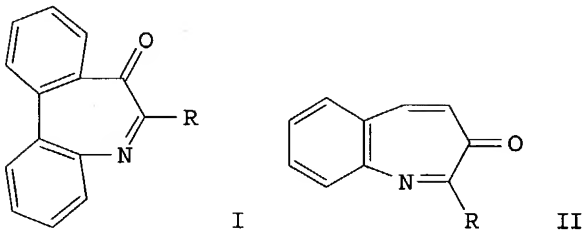
II

AB The title reaction resulted in the formation of functionalized indoles through rearomatization via ene reaction followed by elimination or via competitive air oxidation Under an atmospheric of oxygen the latter process predominated to give majorly 7-hydroxy substituted indoles. Thus, the reactions of the title pyrrole I with RC.tplbond.CCO2Me (R = H, CO2Me) in the presence of air or oxygen gave hydroxyindoles II.

IT **136497-17-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 136497-17-1 CAPLUS
CN 1H-Indole-1,4,5-tricarboxylic acid, 7-[3-methoxy-1-(methoxycarbonyl)-3-oxo-1-propenyl]-, 1-ethyl 4,5-dimethyl ester (9CI) (CA INDEX NAME)



L13 ANSWER 12 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1986:424169 CAPLUS
DOCUMENT NUMBER: 105:24169
TITLE: Syntheses and properties of 2-amino-3-oxo-3H-azepines
AUTHOR(S): Eicher, Theophil; Kruse, Alfred
CORPORATE SOURCE: Fachber. 14 Org. Chem., Univ. Saarlandes, Saarbruecken, D-6600/11, Fed. Rep. Ger.
SOURCE: Synthesis (**1985**), (6-7), 612-19
CODEN: SYNTBF; ISSN: 0039-7881
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 105:24169
GI



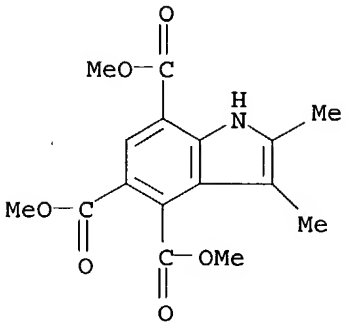
I II

AB The aminodibenzazepinones I [R = piperdino, morpholino, NEt2, NCHMe2)2, NHCHMe2, NHPh] were obtained in 45-77% yield by treating 5-tosyl-6,7-dihydro-5H-dibenz[b,d]azepin-7-one with EtO2CCH2CH2P+Ph3 Br3-. The aminobenzazepinones II (R = NEt2, NHCHMe2) were similarly prepared The 3-benzazepin-1-one III was obtained from the 4,5-dihydro derivative by bromination-dehydrobromination. The chemical and spectroscopic properties of I-III are discussed.

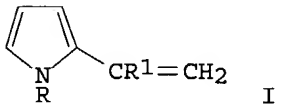
IT **102913-14-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 102913-14-4 CAPLUS

CN 1H-Indole-4,5,7-tricarboxylic acid, 2,3-dimethyl-, trimethyl ester (9CI) (CA INDEX NAME)



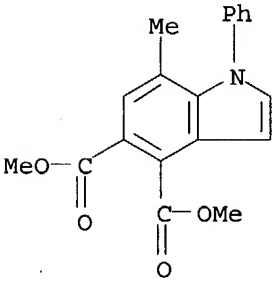
L13 ANSWER 13 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1985:131299 CAPLUS
DOCUMENT NUMBER: 102:131299
TITLE: Pyrrole studies. Part 28. The effect of steric hindrance upon the reaction of 2-vinylpyrroles with dimethyl acetylenedicarboxylate
AUTHOR(S): Jones, R. Alan; Saliente, Teresa Aznar; Arques, Jose Sepulveda
CORPORATE SOURCE: Sch. Chem. Sci., Univ. East Anglia, Norwich, NR4 7JT, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (**1984**), (11), 2541-3
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 102:131299
GI



I

AB The reactions of the vinylpyrroles I (R = Me, R1 = H, Me, CMe3, Ph; R = Ph, R1 = H, Me) with MeO2CC.tplbond.CCO2Me (II) in CHCl3 were examined at 20 and 60°. Steric interaction between R and R1 destabilizes the cisoid conformation of I, thereby inhibiting (π4 + π2)-cycloaddn. reactions. Bulky N-substituents also sterically inhibited the Michael addition of II at the 5-position of the ring.

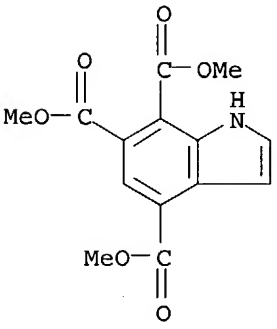
IT **94633-41-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 94633-41-7 CAPLUS
CN 1H-Indole-4,5-dicarboxylic acid, 7-methyl-1-phenyl-, dimethyl ester (9CI) (CA INDEX NAME)



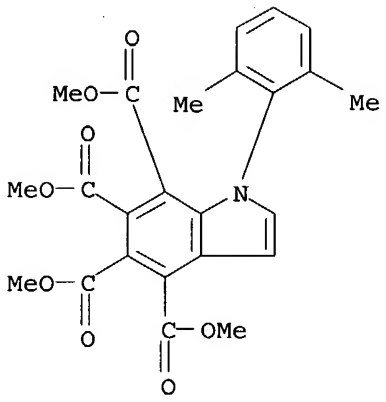
L13 ANSWER 14 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1983:452768 CAPLUS
DOCUMENT NUMBER: 99:52768
TITLE: Diels-Alder reactions of vinyl derivatives of five-membered monoheterocyclic compounds
AUTHOR(S): Noland, Wayland E.; Lee, Chang Kiu; Bae, Sun Kun; Chung, Bong Yul; Hahn, Chi Sun; Kim, Keun Jae
CORPORATE SOURCE: Sch. Chem., Univ. Minnesota, Minneapolis, MN, 55455, USA
SOURCE: Journal of Organic Chemistry (**1983**), 48(15), 2488-91
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 99:52768

AB Vinylpyrroles having electron-withdrawing substituents react with dienophiles to give [4 + 2] π adducts while the furan and thiophene analogs do not due to the greater electron-releasing ability of the N atom in the pyrrole. The s-cis conformation of the (1H-pyrrol-2-yl)maleate derivs. is an important factor in their cycloaddn. reaction.

IT **86012-84-2P 86012-89-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 86012-84-2 CAPLUS
CN 1H-Indole-4,6,7-tricarboxylic acid, trimethyl ester (9CI) (CA INDEX NAME)



RN 86012-89-7 CAPLUS
CN 1H-Indole-4,5,6,7-tetracarboxylic acid, 1-(2,6-dimethylphenyl)-, tetramethyl ester (9CI) (CA INDEX NAME)

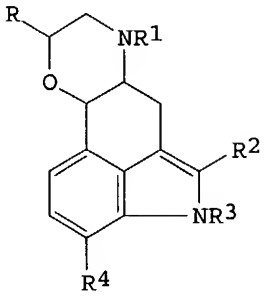


L13 ANSWER 15 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:425087 CAPLUS
DOCUMENT NUMBER: 95:25087
TITLE: Indolobenzoxazines
INVENTOR(S): Jones, James H.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 9 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

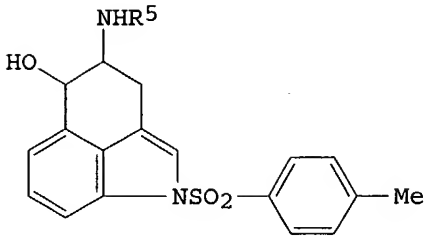
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 4238486	A	19801209	US 1979-96966	19791123	<--
EP 33767	A1	19810819	EP 1980-107206	19801120	<--
EP 33767	B1	19840627			
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE					
AT 8144	E	19840715	AT 1980-107206	19801120	<--
DK 8004975	A	19810524	DK 1980-4975	19801121	<--
AU 8064594	A1	19810528	AU 1980-64594	19801121	<--
AU 539028	B2	19840906			
ES 497064	A1	19820401	ES 1980-497064	19801121	<--
ZA 8007295	A	19820630	ZA 1980-7295	19801121	<--
JP 56087583	A2	19810716	JP 1980-164768	19801125	<--
JP 02027358	B4	19900615			

PRIORITY APPLN. INFO.: US 1979-96966 19791123
EP 1980-107206 19801120

GI



I



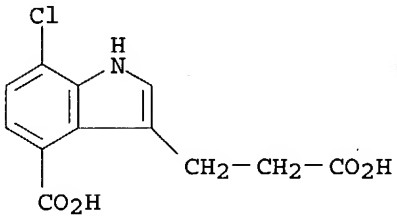
II

AB The indolobenzoxazines I (R = H, alkyl, aryl; R1 = H, alkyl, aralkyl, cycloalkyl, alkenyl; R2 = H, halo, alkyl; R3 = H, alkyl, aralkyl; R4 = H, halo, alkyl, hydroxy, alkoxy) were prepared Thus, the benzindole II (R5 = H) was treated with ClCH2COCl to give II (R5 = ClCH2CO), which was cyclized followed by LiAlH4 reduction to give I (R-R4 = H). At 50-500 mg/kg I were antihypertensive, and at 20-100 mg/kg had antiparkinson and prolactin-inhibiting activity.

IT **36800-76-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of)

RN 36800-76-7 CAPLUS

CN 1H-Indole-3-propanoic acid, 4-carboxy-7-chloro- (9CI) (CA INDEX NAME)



L13 ANSWER 16 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1981:3909 CAPLUS

DOCUMENT NUMBER: 94:3909

TITLE: Electrophilic reactions of dimethyl acetylenedicarboxylate with a cyclic dienamine: solvent influence upon the competitive formation of [4+2]-, [2+2]- and Michael type adducts

AUTHOR(S): Eberbach, Wolfgang; Carre, Jean Claude

CORPORATE SOURCE: Chem. Lab., Univ. Freiburg, Freiburg, D-7800, Fed. Rep. Ger.

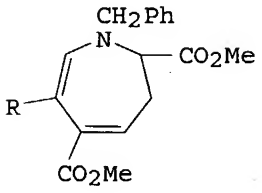
SOURCE: Tetrahedron Letters (**1980**), 21(12), 1145-8
CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

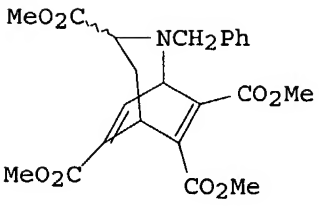
LANGUAGE: English

OTHER SOURCE(S): CASREACT 94:3909

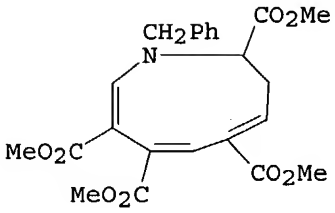
GI



I



II



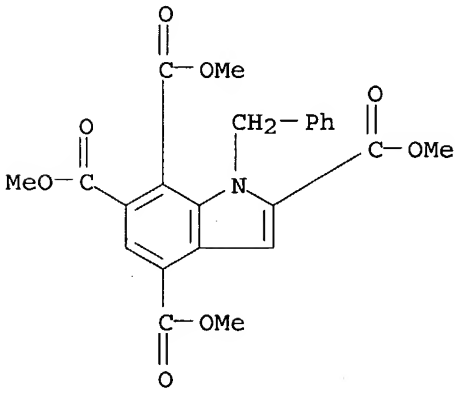
III

AB The azepine I (R = H) reacted with MeO2CC.tplbond.CCO2Me in CCl4, MeCN, and MeOH to give the adducts II, III, and I [R = (E)-MeO2CCH:C(CO2Me)], resp. The mechanism and effect of solvent are discussed.

IT **75817-91-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 75817-91-3 CAPLUS

CN 1H-Indole-2,4,6,7-tetracarboxylic acid, 1-(phenylmethyl)-, tetramethyl ester (9CI) (CA INDEX NAME)



L13 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1980:639141 CAPLUS

DOCUMENT NUMBER: 93:239141

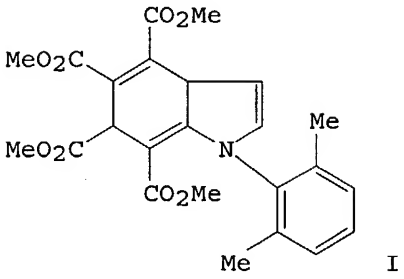
TITLE: Preparation and bromination of a 3a,6-dihydroindole

AUTHOR(S): Noland, Wayland E.; Kim, Keun Jae; Lee, Chang Kiu; Bae, Sun Kun; Hahn, Chi Sun

CORPORATE SOURCE: Sch. Chem., Univ. Minnesota, Minneapolis, MN, 55455, USA

SOURCE: Journal of Organic Chemistry (**1980**), 45(23), 4582-4

CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 93:239141
GI



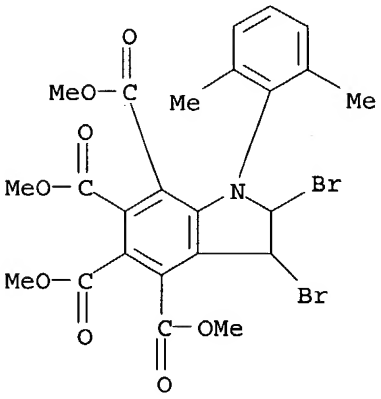
I

AB The 3a,6-dihydroindole I was prepared by the Diels-Alder addition of MeO2CC.tplbond.CCO2Me to di-Me [N-(2,6-dimethylphenyl)pyrrol-2-yl]maleate. Subsequent reaction with Br gave a 2,3-dibromoindoline which is different from that obtained from the corresponding 3a,7a-dihydroindole.

IT **74965-16-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

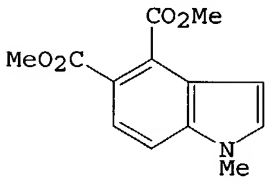
RN 74965-16-5 CAPLUS

CN 1H-Indole-4,5,6,7-tetracarboxylic acid, 2,3-dibromo-1-(2,6-dimethylphenyl)-2,3-dihydro-, tetramethyl ester (9CI) (CA INDEX NAME)



L13 ANSWER 18 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1980:639132 CAPLUS
DOCUMENT NUMBER: 93:239132
TITLE: Pyrrole studies. 22. [4π + 2π] Cycloaddition reactions with vinylpyrroles
AUTHOR(S): Jones, R. Alan; Marriott, Michael T. P.; Rosenthal, W. Philip; Sepulveda Arques, Jose
CORPORATE SOURCE: Sch. Chem. Sci., Univ. East Anglia, Norwich/Norfolk, NR4 7TJ, UK
SOURCE: Journal of Organic Chemistry (**1980**), 45(22), 4515-19

CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 93:239132
GI



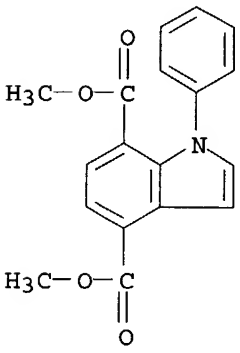
I

AB Diels-Alder reaction of 2- and 3-vinylpyrroles with electron-deficient dienophiles gave 4 dihydro- and 9 tetrahydroindoles, which underwent sigmatropic H migration leading to aromatization of the 5-membered ring. Thus, cycloaddn. of 1-methyl-2-vinylpyrrole with MeO2CC.tplbond.CCO2Me gave 67% di-Me 1-methyl-6,7-dihydroindole-4,5-dicarboxylate, which was aromatized by refluxing with 2,3-dichloro-5,6-dicyanoquinone in dry C6H6 0.5 h to give 25% di-Me 1-methylindole-4,5-dicarboxylate (I). Among the 7 other indoles similarly prepared were di-Me 1-phenylindole-4,7-dicarboxylate and Me 1-tert-butylindole-7-carboxylate.

IT **74809-24-8P 74809-27-1P 74825-03-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

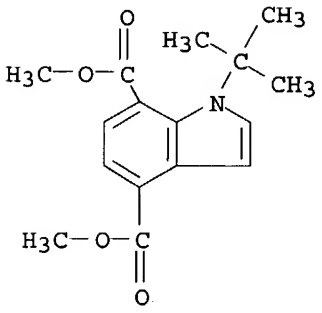
RN 74809-24-8 CAPLUS

CN 1H-Indole-4,7-dicarboxylic acid, 1-phenyl-, dimethyl ester (9CI) (CA INDEX NAME)

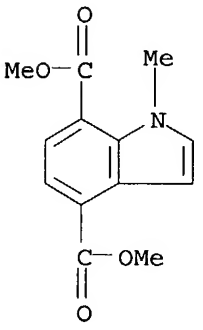


RN 74809-27-1 CAPLUS

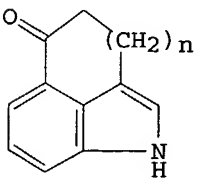
CN 1H-Indole-4,7-dicarboxylic acid, 1-(1,1-dimethylethyl)-, dimethyl ester (9CI) (CA INDEX NAME)



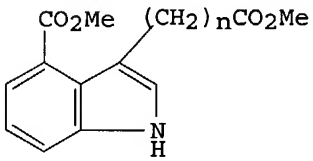
RN 74825-03-9 CAPLUS
CN 1H-Indole-4,7-dicarboxylic acid, 1-methyl-, dimethyl ester (9CI) (CA INDEX NAME)



L13 ANSWER 19 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1980:586626 CAPLUS
DOCUMENT NUMBER: 93:186626
TITLE: Preparative methods for ergoline synthons: Uhle's ketone and the C-homo analog
AUTHOR(S): Ponticello, G. S.; Baldwin, J. J.; Lumma, P. K.; McClure, D. E.
CORPORATE SOURCE: Merck Sharp and Dohme Res. Lab., Dep. Med. Chem., West Point, PA, 19486, USA
SOURCE: Journal of Organic Chemistry (**1980**), 45(21), 4236-8
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



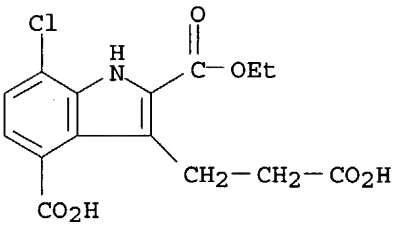
II

AB Preparative methods are described for the synthesis of the tricyclic indolo ketones I (n = 1, 2); these compds. are useful intermediates for the construction of ergolines and related ring systems. The synthetic strategy involves a Dieckmann cyclization-decarboxylation sequence from the diesters II (n = 2,3).

IT **36800-68-7P 74724-99-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and dechlorination of)

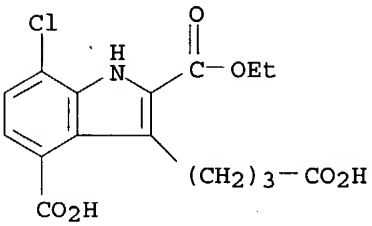
RN 36800-68-7 CAPLUS

CN 1H-Indole-2,4-dicarboxylic acid, 3-(2-carboxyethyl)-7-chloro-, 2-ethyl ester (9CI) (CA INDEX NAME)



RN 74724-99-5 CAPLUS

CN 1H-Indole-2,4-dicarboxylic acid, 3-(3-carboxypropyl)-7-chloro-, 2-ethyl ester (9CI) (CA INDEX NAME)



L13 ANSWER 20 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1973:131202 CAPLUS

DOCUMENT NUMBER: 78:131202

TITLE: Isatin as catalyst for the anodic oxidation of amino acids

AUTHOR(S): Zimmermann, G.; Jahnke, H.; Metzger, H.; Schumann, W.

CORPORATE SOURCE: Forschungszent., Robert Bosch G.m.b.H., Gerlingen-Schillerhoehe, Fed. Rep. Ger.

SOURCE: Experientia, Supplementum (**1971**), No. 18, 693-700
CODEN: EXPSAU; ISSN: 0071-335X

DOCUMENT TYPE: Journal

LANGUAGE: English

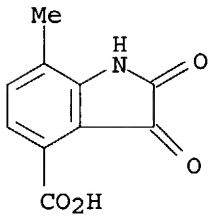
AB Isatin as a catalyst for a fuel cell was studied. No anodic current was produced at 500 mV when isatin (I) was added to acetate-buffered HOAc. A feeble steady-state anodic current appeared after the addition of alanine (II). Powdered charcoal increased the anodic current .apprx.100-fold. The activity of I was greater than that of 6-methylisatin, 4-trifluomethylisatin, 6,7-, 4,7-, and 4,6-dichloroisatin, and 5-chloro-

7-methylisatin. Isatin-4-carboxylic acid and 7-methylisatin-4-carboxylic acid were >10-fold more active than I. The current vs. voltage curves was linear for II oxidation Isatide (III) was anodically oxidized to I at 500 mV; glycine (IV) was readily oxidized but sarcosine with difficulty. Both in the oxidation of II and IV, 8-9 electrons were lost. Part of I was consumed during the oxidation process. One I mol. catalyzed the oxidation of .apprx.5 amino acid mols. Possible secondary reations may include direct oxidation of the Schiff's base, liberating N, CO2, H2O, and I together with 9 electrons.

IT **40663-84-1**
RL: CAT (Catalyst use); USES (Uses)
(oxidation catalysts, for amino acids in implantable fuel cells)

RN 40663-84-1 CAPLUS

CN 1H-Indole-4-carboxylic acid, 2,3-dihydro-7-methyl-2,3-dioxo- (9CI) (CA INDEX NAME)



L13 ANSWER 21 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1973:91840 CAPLUS

DOCUMENT NUMBER: 78:91840

TITLE: Anodic catalytic oxidation of fuel in a fuel cell

INVENTOR(S): Schumann, Wilhelm; Zimmermann, Georg; Metzger, Hans; Ziener, Hermann; Jahnke, Horst

PATENT ASSIGNEE(S): Bosch, Robert, G.m.b.H.

SOURCE: Ger. Offen., 7 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2127206	A	19721214	DE 1971-2127206	19710602 <--

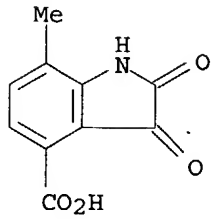
PRIORITY APPLN. INFO.: DE 1971-2127206 19710602

AB It is preferable, in the design of body-implantable fuel cells, to use catalysts which are compatible with the human metabolism. Thus, typical electrocatalysts for O reduction are the Fe phthalocyanines. Fuels for anodic oxidation may be blood-dissolved glucose or amino acids. These may be oxidized with an organic redox system as catalyst, either dissolved or adsorbed on a carrier phase. Compds. with ≥1 α-diketone grouping such as isatin or its derivs. are suitable. Pyridoxal or pyridoxal phosphates are other types of suitable compds.

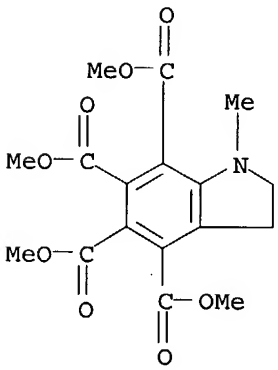
IT **40663-84-1**
RL: CAT (Catalyst use); USES (Uses)
(catalyst, for implantable fuel cells)

RN 40663-84-1 CAPLUS

CN 1H-Indole-4-carboxylic acid, 2,3-dihydro-7-methyl-2,3-dioxo- (9CI) (CA INDEX NAME)

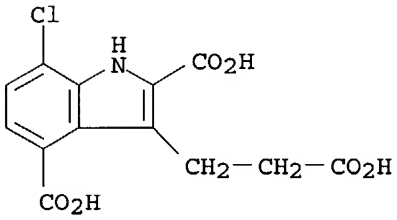


L13 ANSWER 22 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1972:526351 CAPLUS
DOCUMENT NUMBER: 77:126351
TITLE: Reactions of activated amides. VI. Reactions of 1-methyl-2-pyrrolidone dimethylacetal and 2-methylmercapto-1-methyl-2-pyrroline with dimethyl acetylenedicarboxylate
AUTHOR(S): Oishi, Takeshi; Murakami, Shinji; Ban, Yoshio
CORPORATE SOURCE: Fac. Pharm. Sci., Hokkaido Univ., Sapporo, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (**1972**), 20(8), 1740-4
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Reaction of 1-methyl-2-pyrrolidone dimethyl acetal with MeO2CC.tplbond.CCO2Me gave the indoline derivative (I), the isomeric pyrrolidone derivs. (II, R = Me, R1 = CH2 = CO2Me; R = Et, R1 = CO2Me) and the tetracarbomethoxy-1,3-butadienylpyrroline derivative (III) when dioxane was used as solvent. The 1:1 adduct (IV) was the main product when C6H6 was used. When 1-methyl-2-(methylmercapto)-2-pyrroline was employed, the desired azepine derivative (V) was obtained.
IT **37129-15-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 37129-15-0 CAPLUS
CN 1H-Indole-4,5,6,7-tetracarboxylic acid, 2,3-dihydro-1-methyl-, tetramethyl ester (9CI) (CA INDEX NAME)

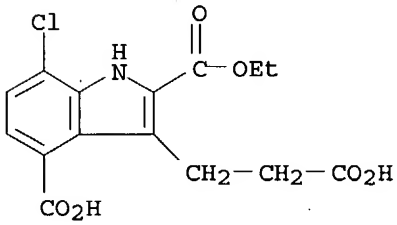


L13 ANSWER 23 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN

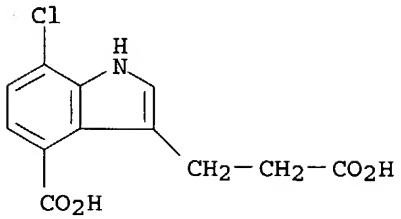
ACCESSION NUMBER: 1972:405270 CAPLUS
DOCUMENT NUMBER: 77:5270
TITLE: 1,3,4,5-Tetrahydrobenz[c,d]indoles and related compounds. I. New synthesis of 3,4-dihydrobenz[c,d]indol-5(1H)-one (Uhle's ketone)
AUTHOR(S): Bowman, R. E.; Goodburn, T. G.; Reynolds, A. A.
CORPORATE SOURCE: Res. Dev. Div., Parke Davis and Co., Pontypool, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (**1972**), (9-10), 1121-3
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 77:5270
GI For diagram(s), see printed CA Issue.
AB -Carboxy-2-chlorobenzenediazonium chloride reacted with Et 2-oxocyclopentanecarboxylate followed by hydrolysis to give 1-Et H 2-oxohexanedioate (5-carboxy-2-chlorophenyl)hydrazone (I). Treatment of I with BF3.AcOH in AcOH at 90° gave 81% 4-carboxy-7-chloro-2-(ethoxycarbonyl)indole-3-propionic acid, which was converted in 67% overall yield to 4-carboxyindole-3-propionic acid (II) by sequential hydrolysis, hydrogenolysis, and thermal decarboxylation. II was readily converted to Uhle's ketone (III) by standard methods.
IT **36800-67-6P 36800-68-7P 36800-76-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 36800-67-6 CAPLUS
CN 1H-Indole-2,4-dicarboxylic acid, 3-(2-carboxyethyl)-7-chloro- (9CI) (CA INDEX NAME)



RN 36800-68-7 CAPLUS
CN 1H-Indole-2,4-dicarboxylic acid, 3-(2-carboxyethyl)-7-chloro-, 2-ethyl ester (9CI) (CA INDEX NAME)



RN 36800-76-7 CAPLUS
CN 1H-Indole-3-propanoic acid, 4-carboxy-7-chloro- (9CI) (CA INDEX NAME)



L13 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1966:439047 CAPLUS
DOCUMENT NUMBER: 65:39047
ORIGINAL REFERENCE NO.: 65:7324b-h
TITLE: Methine dyes
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: 26 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6513722		19660425	NL	<--
PRIORITY APPLN. INFO.:			DE	19641024

GI For diagram(s), see printed CA Issue.

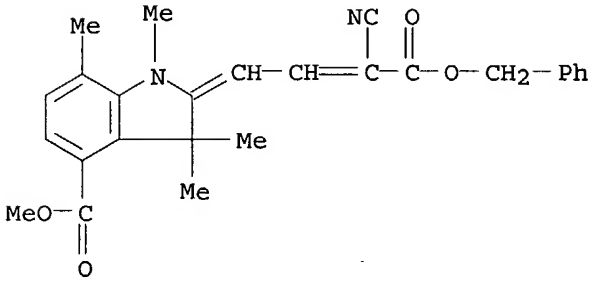
AB Greenish yellow methine dyes of the general formula I were prepared; in formula I, R1-R4 are H, Cl, Me, Et, MeO, NO2, PhSO2, CN, CO2Et, CONH2, etc., R5 = H or CN, and R6 is PhCH2 or an aralkyl group. 5-Carbomethoxy-1,3,3-trimethyl-2-methyleneindoline-ω-aldehyde (II) (25.9 parts) and 17.6 parts NCCH2CO2CH2Ph (III) in 60 vols. dioxane treated dropwise at 90° with 0.5 volume piperidine and refluxed 2 hrs. with stirring gave nearly quant. I (R1 = R3 = R4 = R5 = H, R2 = MeO, R6 = PhCH2), m. 177-8°. The 5-MeO analog of II (7 parts) and 4.8 parts III gave similarly nearly quant. I (R1 = R3 = R4 = H, R2 = MeO, R5 = CN, R6 = PhCH2) which dyes cellulose triacetate greenish yellow shades. Similarly were prepared the following I (R1 = R3 = R4 = R5 = H) (R2, R6, and m.p. given): CO2Me, o-ClC6H4CH2, 192-4°; CO2Me, p-ClC6H4CH2, 224-5°; CO2Me, p-MeC6H4CH2, 209-10°; CO2Me, MePhCH, 167°; CO2Me, PhCH2CH2, 175-6°; CO2Me, 3,4-Cl2C6H3CH2, 241-3°; CO2Me, PhCH:CHCH2, 202-3°; CO2Me, Ph(CH2)3, 148-9°; CO2Me, 2,4,6-Cl3C6H2CH2, 234-7°; CO2Me, PhOCH2CH2, 166-8°; CO2Me, p-O2NC6H4CH2, 253-4°; CO2Me, PhCH(OH)CH2, 162°; H, PhCH2, 109-11°, H, Ph(CH2)3, 111°; MeO, PhCH2, 171°; MeO, Ph(CH2)3, 190°; Me, PhCH2, 190°; Me, Ph(CH2)3, 184-5°; CONH2, PhCH2, 211°; CO2Et, PhCH2, 163°; NO2, PhCH2, 251°; CN, PhCH2, 208°; CN, Ph(CH2)3, 209°; MeSO2, PhCH2, 208°; PhCH2O2C, PhCH2, 160°; PhCH2O2C, Ph(CH2)3, 176°; EtPhNO2C, PhCH2, 146°; PhSO2, PhCH2, 208°; PhSO2, Ph(CH2)3, 161°; Cl, PhCH2, 209°; Cl, Ph(CH2)3, 198-9°; EtO, PhCH2, 175-6°; EtO, Ph(CH2)3, 149-52°; MeO, p-ClC6H4CH2, 196-7°; NH2, PhCH2, 200°; MeO, o-ClC6H4CH2, 203-5°; MeO, 3,4-Cl2C6H3CH2, 199°; NO2, 3,4-Cl2C6H3CH2, 203°; MeO, 2,4,6-Cl3C6H2CH2, 217°; Me, 2,4,6-Cl3C6H2CH2, 204°; CO2H, PhCH2, 155°; CO2H, Ph(CH2)3, 115°. Similarly were prepared the I listed in the table R1, R2, R3, R4, R5, R6, m.p.; H, MeO, H, H, CN, Ph(CH2)3, 128°; H, NO2, H, Me, H, PhCH2, 205°; H, H, H,

Et, H, PhCH2, 125-7°; H, H, H, Et, H, Ph(CH2)3, 99-101°; H, H, H, Me, H, PhCH2, 134°;H, H, H, Me, H, Ph(CH2)3, 155°; H, H, H, Me, H, p-ClC6H4CH2, 196-7°; H, NO2, H, Me, H, p-O2NC6H4CH2, 242°; H, H, H, Me, H, o-ClC6H4CH2, 201°; H, H, H, Me, H, 3,4-Cl2C63CH2, 193°; H, H, H, Me, H, 2,4,6-Cl3C6H2CH2, 197°; H, MeO, H, H, CN, p-ClC6H4CH2, 198°; H, H, H, Et, H, o-ClC6H4CH2, 185°; H, H, H, EtO, H, o-ClC6H4CH2, 198°; H, MeO, H, H, CN, 2,4,6-Cl3C6H2CH2, 193°; H, Cl, H, Me, H, PhCH2, 211°; H, Cl, H, Me H, Ph(CH2)3, 161°; Cl, H, H, Me, H, PhCH2, 170°; Cl, H, H, Me, H, Ph(CH2)3, 181°; H, H, Cl, Me, H, Ph(CH2)3, 166°; H, Cl, H, MeO, H, PhCH2, 230°; H, Cl, H, MeO, H, Ph(CH2)3, 151°; Cl, H, H, MeO, H, PhCH2, 151°; Cl, H, H, MeO, H, Ph(CH2)3, 118°; CO2Me, H, H, Me, H, PhCH2, 195°; CO2Me, H, H, Me, H, Ph(CH2)3, 250°; H, MeO, H, H, CN, PhCH:CHCH2, 129°; H, MeO, H, H, CN, PhOCH2CH2, 154°; CO2Me, H, CO2Me, H, H, PhCH2, 205°; CO2Me, H, CO2Me, H, H, Ph(CH2)3, 162°; Cl, Cl, H, MeO, H, PhCH2, 191-2°; Cl, Cl, H, MeO, H, Ph(CH2)3, 146-8°; MeO, Cl, H, MeO, H, PhCH2, 143°; MeO, Cl, H, MeO, H, Ph(CH2)3, 144°; Me, Cl, H, MeO, H, PhCH2, 199-200°; Me, Cl, H, MeO, H, Ph(CH2)3, 141°

IT **7064-79-1**, Δ2,γ-Indolinecrotonic acid, 4-carboxy-α-cyano-1,3,3,7-tetramethyl-, benzyl 4-Me ester
7064-80-4, Δ2,γ-Indolinecrotonic acid, 4-carboxy-α-cyano-1,3,3,7-tetramethyl-, 4-methyl 3-phenylpropyl ester
(preparation of)

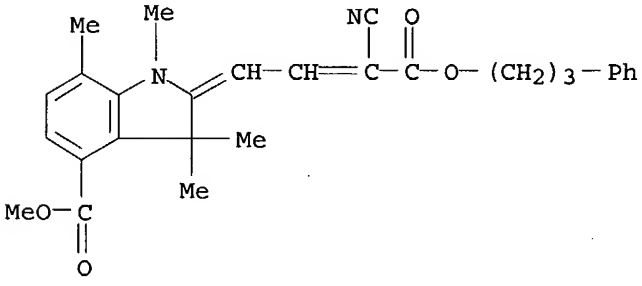
RN 7064-79-1 CAPLUS

CN Δ2,γ-Indolinecrotonic acid, 4-carboxy-α-cyano-1,3,3,7-tetramethyl-, benzyl 4-methyl ester (7CI, 8CI) (CA INDEX NAME)



RN 7064-80-4 CAPLUS

CN Δ2,γ-Indolinecrotonic acid, 4-carboxy-α-cyano-1,3,3,7-tetramethyl-, 4-methyl 3-phenylpropyl ester (7CI, 8CI) (CA INDEX NAME)



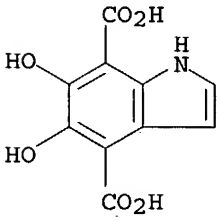
L13 ANSWER 25 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1964:38666 CAPLUS
DOCUMENT NUMBER: 60:38666
ORIGINAL REFERENCE NO.: 60:6810b-g
TITLE: Structure of melanins and melanogenesis. III. Structure of sepiomelanin
AUTHOR(S): Piattelli, M.; Fattorusso, E.; Magno, S.; Nicolaus, R. A.
CORPORATE SOURCE: Univ. Naples
SOURCE: Tetrahedron (**1963**), 19(12), 2061-72
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. CA 57, 16532f. Sepiomelanin (I) on alkali fusion gave 5,6-dihydroxyindole (II), 4-methylpyrocatechol (III), 5,6-dihydroxyindole-2-carboxylic acid (IV), pyrrole-2-carboxylic acid (V), pyrrole-3-carboxylic acid (VI), pyrrole-2,4-dicarboxylic acid (VII), pyrrole-2,5-dicarboxylic acid (VIII), and 5,6-dihydroxyindole-4,7-dicarboxylic acid. Similar alkaline fusion of 5,6-dihydroxyindolemelanin gave II, pyrocatechol, V, VI, VII, and VIII. Analogous treatment of 2,2'-dihydroxybiphenyl gave o-HOC6H4CO2H, PhOH, and o-MeC6H4OH. Alc. (200 ml.) containing 3 g. 5,6-bis(benzyloxy)indole-2-carboxylic acid (IX) hydrogenated at 95°/100 atmospheric 48 hrs. with 400 mg. 10% Pd-C gave 1.6 g. IV, m. 230° (dilute AcOH). Methylated I(30 g.) oxidized with H2O2 in AcOH gave 5-carbomethoxypyrrole-2,3-dicarboxylic acid (X), m. 246-7°, 3-carbomethoxypyrrole-2,5-dicarboxylic acid (XI), m. 249-51° (H2O), and H2C(CO2H)2, m. 135-6°, by different isolation techniques. The isolation of XI further proved that indole units with a CO2H group in position 2 are present in I. The presence of these units shows that a carboxylated intermediate, probably dopachrome, partakes in the formation of the polymer. Whether these units retain an aminochrome structure in the polymer or rearrange to units of dihydroxyindole type was determined by preparation of a melanin by enzymic oxidation of IV with tyrosinase to give melanin(XII). XII (50 mg.) oxidized 10 days at 20° with 3.0 ml. 1:1 AcOH 36% H2O2 gave pyrrole-2,3,5-tricarboxylic acid (XIII), pyrrole-2,3,4,5-tetracarboxylic acid (XIV), glycine, and aspartic acid. IX (1 g.) in Et2O treated with CH2N2 in Et2O gave 2-carbomethoxy-5,6-bis-(benzyloxy) indole, m. 149-50°, which was hydrogenated to 2-carbomethoxy-5,6-dihydroxyindole (XV), m. 255-60°. XV (550 mg.) in 10 ml. 2N K2CO3 oxidized with 60 ml. 3% aqueous KMnO4 gave 30 mg. X, m. 246-7°. A suspension of 100 mg. 2,3,5-tricarbomethoxypyrrole in 9 ml. 0.1N NaOH kept 14 hrs. and the clear solution acidified with concentrated HCl gave 25 mg. XI, m. 249-51° (H2O), giving a red color with diazotized p-H2NC6H4SO3H. XII (248 mg.), dried at 80° over P2O5 in vacuo 8 hrs., was decarboxylated according to P. and N. (CA 55, 11433h) to give 64 mg. BaCO3, equivalent to 5.9% XII. The decarboxylated XII (50 mg.) oxidized with 3% aqueous KMnO4 gave XIII and XIV. Titration of the CO2H groups of XII gave a neutralization equivalent 180 [theoretical for (C9H3NO4)x 189]. Since it has been shown that the CO2H groups at position 2 and those derived from partial degradation of some indole nuclei during melanogenesis are eliminated by heating I, it was assumed that in the natural pigment the carboxylated units have a dopachrome structure. I oxidized with H2O2AcOH gave cysteic acid, taurine, aspartic acid, and glycine. The presence of cysteic acid shows that the bond between the prosthetic part and the protein in sepiomelanoprotein is effected by the intervention of the SH groups of cysteine mols. Taurine is probably an artifact originating by

decarboxylation of cysteine residues. Aspartic acid and glycine may be derived from the nonprotein moiety of the pigment since they also were obtained by H2O2-AcOH oxidation of IV.

IT **90800-62-7**, Indole-4,7-dicarboxylic acid, 5,6-dihydroxy-
(from sepiomelanin decomposition)

RN 90800-62-7 CAPLUS

CN Indole-4,7-dicarboxylic acid, 5,6-dihydroxy- (7CI) (CA INDEX NAME)



L13 ANSWER 26 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1963:59659 CAPLUS

DOCUMENT NUMBER: 58:59659

ORIGINAL REFERENCE NO.: 58:10167d-f

TITLE: Addition reactions of heterocyclic compounds. XIV. The pyrolysis and hydrolysis of tetramethyl 3a,7a-dihydro-1-methylindole-2,3,3a,4-tetracarboxylate

AUTHOR(S): Acheson, R. M.; Vernon, J. M.

CORPORATE SOURCE: Univ. Oxford, UK

SOURCE: Journal of the Chemical Society, Abstracts (**1963**) 1907-13
CODEN: JCSAAZ; ISSN: 0590-9791

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

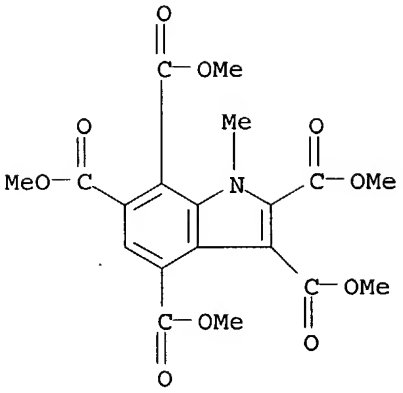
GI For diagram(s), see printed CA Issue.

AB Pyrolysis of III with Pd-C gave trimethyl 1-methylindole-2,3,4-tricarboxylate (IV) and V, the latter through a 1,2-shift of the angular ester group; pyrolysis in Ph2O gave tetramethyl 1-methylindole-2,3,6,7-tetracarboxylate and trimethyl 1-methylpyrrole-2,3,4-tricarboxylate. Alkaline hydrolysis of III and treatment with CH2N2 gave trimethyl 6,7-dihydro-1-methylindole-2,3,4-tricarboxylate which was oxidized to (IV) and with dimethyl acetylenedicarboxylate gave a mixture of 1-methylindoletetra- and pentacarboxylic esters.

IT **95428-37-8**, Indole-2,3,4,6,7-pentacarboxylic acid, 1-methyl-, pentamethyl ester
(preparation of)

RN 95428-37-8 CAPLUS

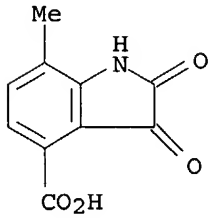
CN Indole-2,3,4,6,7-pentacarboxylic acid, 1-methyl-, pentamethyl ester (7CI) (CA INDEX NAME)



L13 ANSWER 27 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1962:3536 CAPLUS
DOCUMENT NUMBER: 56:3536
ORIGINAL REFERENCE NO.: 56:704i,705a-c
TITLE: The biological activity of dehydrogenase models
AUTHOR(S): Langenbeck, W.; Franz, R. D.
CORPORATE SOURCE: Inst. Katalyseforschung, Rostock, Germany
SOURCE: Hoppe-Seyler's Zeitschrift fuer Physiologische Chemie (**1961**), 325, 35-47
CODEN: HSZPAZ; ISSN: 0018-4888
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB The object of this work was to study the relation between the catalytic activity of various quinone model dehydrogenases and their biol. activity. Four quinone derivs. (1,2-naphthoquinone-4-sulfonate (I); 2-hydroxy-3,3'-binaphthyl-1,4,-1',2'-diquinone (II); 4-[2-hydroxy-3-carboxy naphthyl]-1,2-naphthoquinone-3-carboxylic acid (III); 7-hydroxy-1,2-naphthoquinone (IV) and one isatin derivative (7-methylisatin4-carboxylic acid (V)) of known dehydrogenase activity were tested for the following biol. effects: bacteriostatic, fungi-static, growth of axolotls (amphibia), development of Xenopus laevis eggs, and inhibition of Ehrlich mouse ascites carcinoma. The bacteriostatic effect was the same on 2 gram-pos. and 2 gram-neg. bacteria. Of the 4 quinones studied, II was the most effective with 70% growth inhibition at a concentration of 2 + 10-4M. V was relatively ineffective. The fungistatic effect paralleled closely the bacteriostatic, II being again the most effective. Growth and regeneration of tissue with axolotl was also most sensitive to II with a significant inhibition at 5 + 10-4M concentration V was not effective even at 10-4M. None of the compds. tested had any effect on the development of Xenopus eggs. The inhibiting effect on growth of ascites tumor cells was likewise greatest with II and least with V. No valid correlation was found between dehydrogenase activity and these biol. effects.

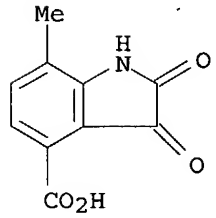
IT **40663-84-1**, 4-Indolinecarboxylic acid, 7-methyl-2,3-dioxo-
(as dehydrogenase model, biol. activity of)
RN 40663-84-1 CAPLUS
CN 1H-Indole-4-carboxylic acid, 2,3-dihydro-7-methyl-2,3-dioxo- (9CI) (CA INDEX NAME)



L13 ANSWER 28 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1961:103398 CAPLUS
DOCUMENT NUMBER: 55:103398
ORIGINAL REFERENCE NO.: 55:19423f-h
TITLE: Departure from the steady state in complex reactions with a reactive intermediate
AUTHOR(S): Giddings, J. Calvin; Shin, Hyung Kyu
CORPORATE SOURCE: Univ. of Utah, Salt Lake City
SOURCE: Transactions of the Faraday Society (**1961**), 57, 468-83
CODEN: TFSOA4; ISSN: 0014-7672
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB The departure from steady-state conditions was calculated in terms of the fractional departure ε of the intermediate concentration from its steady-state value. Exact and approx. methods were formulated for obtaining ε, defined by [B] = [B]* (1 + ε), where [B] and [B]* were the actual and the quasi-equilibrium concentration, resp., of the intermediate species B. The reaction steps are both 1st order and 2nd order. A relaxation time was introduced in 2 cases and provided a rapid method to approx. induction time for certain types of kinetics. Approx. methods involved errors of order ε2 and were employed when the depature was small; these are useful for complex kinetics where exact solns. require numerical procedures.

IT **40663-84-1**, 4-Indolinecarboxylic acid, 7-methyl-2,3-dioxo- (preparation of)
RN 40663-84-1 CAPLUS
CN 1H-Indole-4-carboxylic acid, 2,3-dihydro-7-methyl-2,3-dioxo- (9CI) (CA INDEX NAME)



L13 ANSWER 29 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1961:103397 CAPLUS
DOCUMENT NUMBER: 55:103397
ORIGINAL REFERENCE NO.: 55:19423f
TITLE: Electronic theory of the activation of organic catalysts

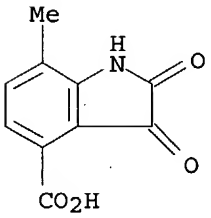
AUTHOR(S): Langenbeck, W.
CORPORATE SOURCE: Inst. Katalyseforschung, Rostock, Germany
SOURCE: Monatsberichte der Deutschen Akademie der Wissenschaften zu Berlin (**1960**), 2, 357-9
CODEN: MDAWAH; ISSN: 0011-9814
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB The electronic phenomena involved in the action of various classes of organic catalysts are briefly discussed.

IT **40663-84-1**, 4-Indolinecarboxylic acid, 7-methyl-2,3-dioxo- (preparation of)

RN 40663-84-1 CAPLUS

CN 1H-Indole-4-carboxylic acid, 2,3-dihydro-7-methyl-2,3-dioxo- (9CI) (CA INDEX NAME)



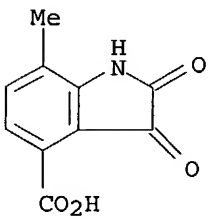
L13 ANSWER 30 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1961:103396 CAPLUS
DOCUMENT NUMBER: 55:103396
ORIGINAL REFERENCE NO.: 55:19423e-f
TITLE: The surface acidity of allophane and diatomaceous earth
AUTHOR(S): Yamamoto, Daisei
CORPORATE SOURCE: Univ. Kumamoto
SOURCE: Nippon Kagaku Zasshi (**1960**), 81, 674-5
CODEN: NPKZAZ; ISSN: 0369-5387
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB The surface acidity of allophane was studied and compared with that of SiO2-Al2O3 catalyst and of Al2O3 gel. Diatomaceous earth (I) of marine origin also showed surface acidity, which is of interest because of its possible relation to the catalytic action of I.

IT **40663-84-1**, 4-Indolinecarboxylic acid, 7-methyl-2,3-dioxo- (preparation of)

RN 40663-84-1 CAPLUS

CN 1H-Indole-4-carboxylic acid, 2,3-dihydro-7-methyl-2,3-dioxo- (9CI) (CA INDEX NAME)



L13 ANSWER 31 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1959:66239 CAPLUS
DOCUMENT NUMBER: 53:66239
ORIGINAL REFERENCE NO.: 53:11995b-h
TITLE: Infrared spectra and dehydrogenase activity of isatin derivatives
AUTHOR(S): Sadler, P. W.; Mix, H.; Krause, H. W.
CORPORATE SOURCE: Courtauld Inst. Biochem., London
SOURCE: Journal of the Chemical Society, Abstracts (**1959**) 667-70
CODEN: JCSAAZ; ISSN: 0590-9791
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

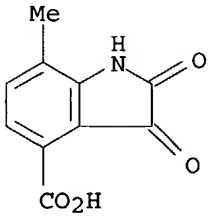
AB The vibrational spectra of 7-methylisatin-4-carboxylic acid (I) and related compds. were examined The lactol form of the acid is present in the solid state; normal carboxylic acid dimerization occurs in concentrated solution, and an intramol. bonded form exists in dilute solution Various H-bonded forms occur in the derivs., and the possible relation between these structures and the dehydrogenase activity is discussed. The structures which may be written for I include the keto-lactol tautomers and 2 H-bonded forms involving the carboxyl group. I and related compds. were found suitably soluble in sym-tetrachloroethane for infrared analysis. I shows only a broad bonded O-H absorption at 2800-3200 cm.-1, characteristic of the carboxylic acid dimer superimposed on the C-H stretching absorption at 2850 cm.-1; the NH peaks are not clearly defined. The α- and β-carbonyl stretching frequencies occur at 1760 and 1735 cm.-1, resp., both being weak. The band at 1590 cm.-1 is an aromatic frequency. On dilution the 1670 cm.-1 peak steadily diminishes and a new peak appears at 1705 cm.-1 owing to the monomeric form, which is unimol. bonded as no absorption at 3600 cm.-1 is shown. The β-carbonyl peak no longer occurs as a separate entity. The amide has peaks at 3500 and 3340 cm.-1. The C-H stretching frequency occurs at 2900 cm.-1, and the α- and β-carbonyl group gives rise to a band at 1735 cm.-1. The amide I band occurs at 1710 cm.-1. Amide II bands appear as two split maximum at 1641 and 1566. The situation is similar in the case of the propylamide. 5-Carboxymethylisatin (II) was chosen as a reference compound as it is isomeric with I but may form only intermol. H bonds. The strong absorption at 1708 cm.-1 is typical of the dimeric form. The infrared spectra was tabled for substituted isatins in sym-tetrachloroethane and KBr pellets. The following compds. were listed besides I and II (substituents given): 4-CONH2-7-Me; 4-CONHPr-7-Me; 4-CONPr2-7-Me; 5-CH2CO2H-1-Me, 5-CH2CO2Et-1-Me; 5-CH2CO2Et. The relation of structure as shown by liquid state is discussed in regard to these compds. In the case of I it was not possible to relate dehydrogenase activity to the carbonyl stretching frequencies as the latter do not occur as discreet entities. Nor may the high catalytic activity be compared with the σ values for the substituents as the carboxyl group is o- to the β-carbonyl group. The activity may be related to the substitution of groups in the 4-position and intramolecularly H-bonded structures. I is shown to exist predominantly in an intramolecularly H-bonded form. The low activity of the unsubstituted amide is difficult to explain. Generally the interpretation of o-substituent effects is complex, and a more extensive investigation will be required if the anomalous results for these 4-substituted isatins are to be fully explained.

IT **40663-84-1**, 4-Indolinecarboxylic acid, 7-methyl-2,3-dioxo-
(dehydrogenase activity of, spectrum and)

RN 40663-84-1 CAPLUS

CN 1H-Indole-4-carboxylic acid, 2,3-dihydro-7-methyl-2,3-dioxo- (9CI) (CA

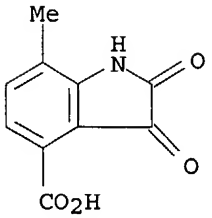
INDEX NAME)



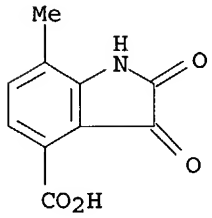
L13 ANSWER 32 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1959:23278 CAPLUS
DOCUMENT NUMBER: 53:23278
ORIGINAL REFERENCE NO.: 53:4259a-d
TITLE: Organic catalysts. II. Synthetic dehydrases. 9
AUTHOR(S): Mix, Hermann; Krause, Hans W.; Reihsig, Jonathan
CORPORATE SOURCE: Inst. Katalyseforsch., Rostock, Germany
SOURCE: Journal fuer Praktische Chemie (Leipzig) (**1958**), 6, 174-81
CODEN: JPCEAO; ISSN: 0021-8383
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB cf. C.A. 51, 8066f; 52, 18373c, preceding abstract The preparation of a series of acid amides of 7-methylisatin-4-carboxylic acid (I) and other carboxyl group derivs. has been described. I (2.059) was added to 20 cc. CHCl3 and 2.4 cc. Bu3N and stirred till a clear solution resulted, 0.96 cc. ClCO2Et added slowly with cooling at -5 to 0°, after 40 min. at this temperature 0.01 mole amino compound added, and after stirring 12 hrs. the material worked up. Thus prepared were the following amides of 7-methylisatin-4-carboxylic acid (m.p. given): di-Pr 216-18°; di-Bu, 161-2°; Bu, 296-7° (decomposition); Pr, 290-1°; Et, 299-301° (decomposition); n-nonyl, 254-6°; ethyl-n-nonyl, 103-4°; morpholide, 249-53°; piperidine, 222°; cyclohexyl, m. 304° (decomposition); benzyl, 307° (decomposition); α-naphthyl, 299-302° and β-naphthyl, m. 327° (decomposition); norleucine Et ester, 218°; alanine benzyl ester, 210-12°; Et p-aminosalicylate, 281-2°; Et p-aminobenzoate, 308-10°; and N'-iso-nicotinoylhydrazine, 276°. Also prepared was di-Et (5-iso-nitrosoacetamido)isophthalate which was converted to isatin-4,6-dicarboxylic acid. A table of the dehydrase activities of the compds. is included, the activities determined by the decolorization of methylene blue-DL-alanine in HCONMe2 (Langenbeck, et al., C.A. 31, 43158). The most active catalyst was I; there was a noticeable decrease in the activity of the tertiary amides. A tabulation was also made comparing the dehydrase activity of the amides and the pKB of the amines used in their formation. H-bonding is discussed as an explanation for the activity of I.

IT **40663-84-1**, 4-Indolinecarboxylic acid, 7-methyl-2,3-dioxo-
(dehydrase activity of)
RN 40663-84-1 CAPLUS
CN 1H-Indole-4-carboxylic acid, 2,3-dihydro-7-methyl-2,3-dioxo- (9CI) (CA INDEX NAME)



L13 ANSWER 33 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1958:91661 CAPLUS
DOCUMENT NUMBER: 52:91661
ORIGINAL REFERENCE NO.: 52:16084d-g
TITLE: The relation between oxidation-reduction potential and dehydrase activity of quinones and isatins
AUTHOR(S): Cassebaum, Heinz
CORPORATE SOURCE: Univ. Halle-Wittenberg, Germany
SOURCE: Zeitschrift fuer Elektrochemie und Angewandte Physikalische Chemie (**1958**), 62, 426-36
CODEN: ZEAPAA; ISSN: 0372-8323
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB Measurements of dehydrase activity, autoxidation, and oxidation-reduction potentials are reported for p-benzoquinone, 1,2- and 1,4-naphthoquinone, 1,2-anthracenequinone, pyrocatechol, 4,4'-dihydroxy-1,1'-binaphthyl, 3,3'-dimethoxy- and 3,3'-dimethyl-1,1'-binaphthyl-4,4'-quinone, I, isatin, 4- and 5-isatincarboxylic acid, thianaphthenequinone, acenaphthenequinone; the following derivs. of 1,4-naphthoquinone: 2-Me, 2-OH-3-Ph, 5-MeO, 5-OH, the following derivs. of 1,2-naphthoquinone: 3,7-Me2, 3-Br, 3-COOH, 4-NH2, 4-MeO, 4-Me, 4-NHAc, 4-Ph, 4-(1-naphthyl), 4-Cl, 4-CN, 4-SO3K, 4-COOH, 4-Ph2CH, 4-CH(COOEt)2, 4-(m- and p-tolyl), 5-MeO-4-(o-MeOC6H4), 6- and 7-MeO-4-(p-MeOC6H4), 3-hydroxy-2,1'-binaphthyl-1,4,3',4'-diquinone. A series of generalizations relating to autoxidation, dehydrase activity, and oxidation-reductions potentials are postulated.
IT **40663-84-1**, 4-Indolinecarboxylic acid, 7-methyl-2,3-dioxo- (autoxidn., dehydrase activity and oxidation-reduction potential)
RN 40663-84-1 CAPLUS
CN 1H-Indole-4-carboxylic acid, 2,3-dihydro-7-methyl-2,3-dioxo- (9CI) (CA INDEX NAME)



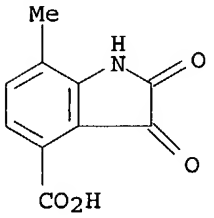
L13 ANSWER 34 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1957:51807 CAPLUS
DOCUMENT NUMBER: 51:51807
ORIGINAL REFERENCE NO.: 51:9572c-i

TITLE: Reactivity of the carbonyl group and of dehydrogenation activity of isatin compounds. II
AUTHOR(S): Giovannini, E.; Portmann, P.; Johl, A.; Schnyder, K.; Knecht, B.; Zen-Ruffinen, H. P.
CORPORATE SOURCE: Univ. Fribourg, Switz.
SOURCE: Helvetica Chimica Acta (**1957**), 40, 249-55
CODEN: HCACAV; ISSN: 0018-019X
DOCUMENT TYPE: Journal
LANGUAGE: French

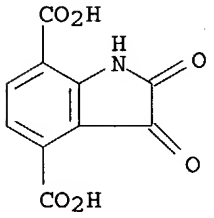
AB cf. C.A. 43, 215b. The dehydrogenation activity of many mono- and disubstituted derivs. of isatin (I) expressed as time of decolorization of a methylene blue (II) solution according to Langenbeck (C.A. 21, 2126) have been measured and tabulated as relative activities to that of I (100), using 10-4 or 2 + 10-5 mole compound in 5 cc. pyridine and 2 cc. standard aqueous solution [2 g. DL-MeCH(NH2)CO2H (III), 0.3737 g. II, and 10 cc.
AcOH made up to 100 cc.] (isatin substituent, m.p., decoloration time (min.) with 10-4 and 2 + 10-5 mole, relative dehydrogenation activity given): H, 200°, 10, 50, 100; 4-Me, 190-2°, > 1320, -, < 0.75; 5-Me, 186-7°, 11, 50, 95; 6-Me, 190-1°, 19, 72, 61; 7-Me, 266°, -, 31, 161; 4-Cl, 259-60°, 113, -, 8.8; 5-Cl, 251-3°, 7, 42, 131; 6-Cl, 263°, 8, -, -; 7-Cl, 188-90°, 6, 33, 159; 4-NO2, 245°, > 900, -, < 1.1; 5-NO2, 253°, 12, 68, 88; 6-NO2, 288-90°, 7, 48, 123; 7-NO2, 237°, -, 42, 119; 4-NH2, 254-5°, > 900, -, < 1.1; 5-NH2, above 330°, 12, 65, 80; 7-NH2, above 330°, -, 52, 96; 4-HO, 260° (decomposition), 73, -, 13.7; 5-HO, above 290° (decomposition), 9, -, 111; 6-HO, above 325° (decomposition), 127, -, 7.8; 5-MeO, 201-2°, 9, 43, 114; 6-MeO, 229-30°, 69, -, 14.4; 7-MeO, 240-2°, 7, 33, 147; 4-CO2H, 285°, -, 1.5, 3300; 5-CO2H, 295°, 7, 32, 150; 6-CO2H, 328-30°, -, 28, 178; 7-CO2H, 277°, -, 32, 156; 4-SO3H, 183° (decomposition), 4, -, 250; 5-SO3H, 145-7°, 19, -, 52; 6-SO3H, above 290° (decomposition), 15, -, 67; 7-SO3H, m. above 350° (decomposition), 19, -, 52. Substituents in the 4-position have a great influence, in one sense or another, on the dehydrogenation activity of I and probably on the activity of the 3-CO group. The effect of the 4-CO2H group is not due to its acid character as shown by the relative dehydrogenation activities of the 4-HO and 4-SO3H substituted compds. The effect of double substitution was examined: 4,6-Me2, 241-3°, > 900, -, < 1.1; 4,7-Me2, -, > 900, -, < 1.1; 5,6-Me2, 212-13°, 20, -, 50; 4,7-Me(CO2H), 258-60°, > 900, -, < 1.1; 7,4-Me(CO2H), 295°, -, 1.5, 3300; 4,7-(CO2H)2, 303-5°, -, 3.5, 1430; 4,7-Cl2, 246°, 89, -, 11.2; 5,6-(HO)2, 290° (decomposition), 230, -, 4.3; 5,6-Cl(HO), 284-6°, 236, -, 4.2; 5.6-(MeO)2, 252°, 75, -, 13.3; 5,6-CH2O2, 284°, 60, -, 16.6. The inactivation caused by the 4-Me group persists. The effect of 2 activating groups is not additive but groups with contrary effects may give an intermediate value. Since the inactivation caused by some 4-substituents might be attributable to steric effects, the dehydrogenation activities with H2NCH2CO2H (IV) have been compared with those with III [isatin substituent, times (min.) of decolorization with III and IV, ratios of activity (III/IV) given]: H, 10, 4, 2.5; 4-Me, > 1310, 108, > 13; 5-Me, 11, 4, 2.7; 6-Me, 18, 7, 2.5; 4,6-Me2, > 900, 205, > 4.4; 4,7-Me2, > 900, 131, > 6.9; 4-Cl, 113, 12, 9.4; 4-NO2, > 900, 280, > 3.2; 4-CO2H, 1.5, 0.75, 2. The activity of 4-methylisatin is less than that of the other isomers against IV and no explanation is offered for the activity of 4-carboxyisatin.

IT **40663-84-1**, 4-Indolinecarboxylic acid, 7-methyl-2,3-dioxo-
102169-83-5, 4,7-Indolinedicarboxylic acid, 2,3-dioxo-
(dehydrogenase activity of)

RN 40663-84-1 CAPLUS
CN 1H-Indole-4-carboxylic acid, 2,3-dihydro-7-methyl-2,3-dioxo- (9CI) (CA INDEX NAME)



RN 102169-83-5 CAPLUS
CN 4,7-Indolinedicarboxylic acid, 2,3-dioxo- (6CI) (CA INDEX NAME)



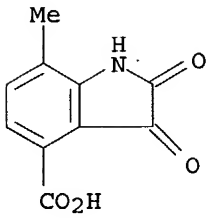
L13 ANSWER 35 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1957:43289 CAPLUS
DOCUMENT NUMBER: 51:43289
ORIGINAL REFERENCE NO.: 51:8066f-i,8067a-c
TITLE: Organic catalysts. XL. Synthetic dehydrogenases. 8
AUTHOR(S): Mix, Hermann; Krause, Hans Walter
CORPORATE SOURCE: Inst. Katalyseforsch., Rostock, Germany
SOURCE: Chemische Berichte (**1956**), 89, 2630-6
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 51:43289
GI For diagram(s), see printed CA Issue.
AB cf. C.A. 50, 7783e. Some isatins, CMe:CH.CH:CR.C:C.NH.CO.CO (I), and N-(7-methylisatin-4-carbonyl)amino acid Et esters (II), substituted in the 4-position, are prepared and tested for their dehydrogenase activity. Treating p-MeC6H4CO2H with fuming HNO3 yields 4,3-Me(O2N)C6H3CO2H, m. 188-9°, which, reduced with Raney Ni in dioxane at 120° and 100 atmospheric, gives 90% 4,3-Me(H2N)C6H3CO2H (III), m. 162°. Heating 5 g. III in 150 cc. H2O containing 2 cc. concentrated H2SO4 with 5.5 g. CCl3CHO.H2O (IV) and 6.5 g. (HONH2)2.H2SO4 (V) yields 3-isonitrosoacetamido-4-methylbenzoic acid which (10 g.), heated in 22 cc. concentrated H2SO4 at 85-90° and kept 0.5 hr. at 95-100°, gives 72% I (R = CO2H) (VI), yellow-red needles, m. 278-80°; Et ester, prepared by heating 5 g. VI in 150 cc. EtOH-HCl 0.5 hr. on a water bath and chromatographing over Al2O3, orange rods, m. 205°. Heating 5 g. 4,3-Me(H2N)C6H3CN, m. 81°, 6.3 g. IV, and 7.5 g. V in 430 cc. H2O and 3 cc. concentrated H2SO4 gives 2-2.5 g. isonitroso compound which, heated with concentrated H2SO4, yields I (R = CONH2), brick-red crystals, decompose above 270°.

Adding 0.94 cc. ClCO2Et dropwise to a solution of 2 g. VI and 2.28 g. Bu3N in 20 cc. CHCl3 at -5°, stirring the mixture 0.5 hr. at -5°, then adding 900 mg. PhNH2, and stirring the mixture 12 hrs. give I (R = CONHPh), small red rods, m. 308°; I (R = CONEt2), light red leaflets, m. 192°. The following II are prepared (amino acid given): alanine, light red rods, m. 254°; norvaline, light red leaflets, m. 220°; α-aminobutyric acid, red leaflets, m. 218-19°; glutamic di-Et ester, red needles, m. 171-2°; glutamic mono-Et ester, long red needles, m. 186-7°; phenylalanine, red needles, m. 225-6°; tryptophan Me ester, purple crystals, m. 254°. Treating 1.2 g. 2,4-Me2C6H3NH2 in 30 cc. H2O and 1.16 g. concentrated H2SO4 with 1.7 g. IV and 1.9 g. V yields isonitrosoacetamido-p-xylene which, added to 10 cc. concentrated H2SO4 at 65-7° and the mixture heated 20 min. at 65-70°, gives 4,7-dimethylisatin, yellow-red precipitate, m. 261°. Reduction of 4,3-Me(O2N)C6H3NHAc with Raney Ni at 120° and 100 atmospheric gives 100% 3,4-Me(H2N)C6H3NHAc, m. 159°, which (6 g.), stirred 4-5 hrs. at 36-40° with 6 g. IV and 7.2 g. V, yields 3-isonitrosoacetamido-4-methylacetanilide. Heating the latter 45 min. in 10 cc. concentrated H2SO4 at 95-100° gives 4-amino-7-methylisatin, light red needles, charring above 310°. The dehydrogenase activity of these compds. has been tested by measuring the time required to decolorize a solution of 2 + 10-5 moles methylene blue and 2.25 + 10-4 moles DL-alanine in 71% HCONMe2 at 40°. The results, given in a table, show that V is the most active catalyst. The introduction of the Me group at the 7-position has no effect on the dehydrogenation velocity. For the calcn. of the partial velocities of the catalysis the PS curves of some of the compds. are given.

IT **40663-84-1**, 4-Indolinecarboxylic acid, 7-methyl-2,3-dioxo- (preparation of)

RN 40663-84-1 CAPLUS

CN 1H-Indole-4-carboxylic acid, 2,3-dihydro-7-methyl-2,3-dioxo- (9CI) (CA INDEX NAME)



=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	211.40	699.53

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-30.10	-32.20

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